

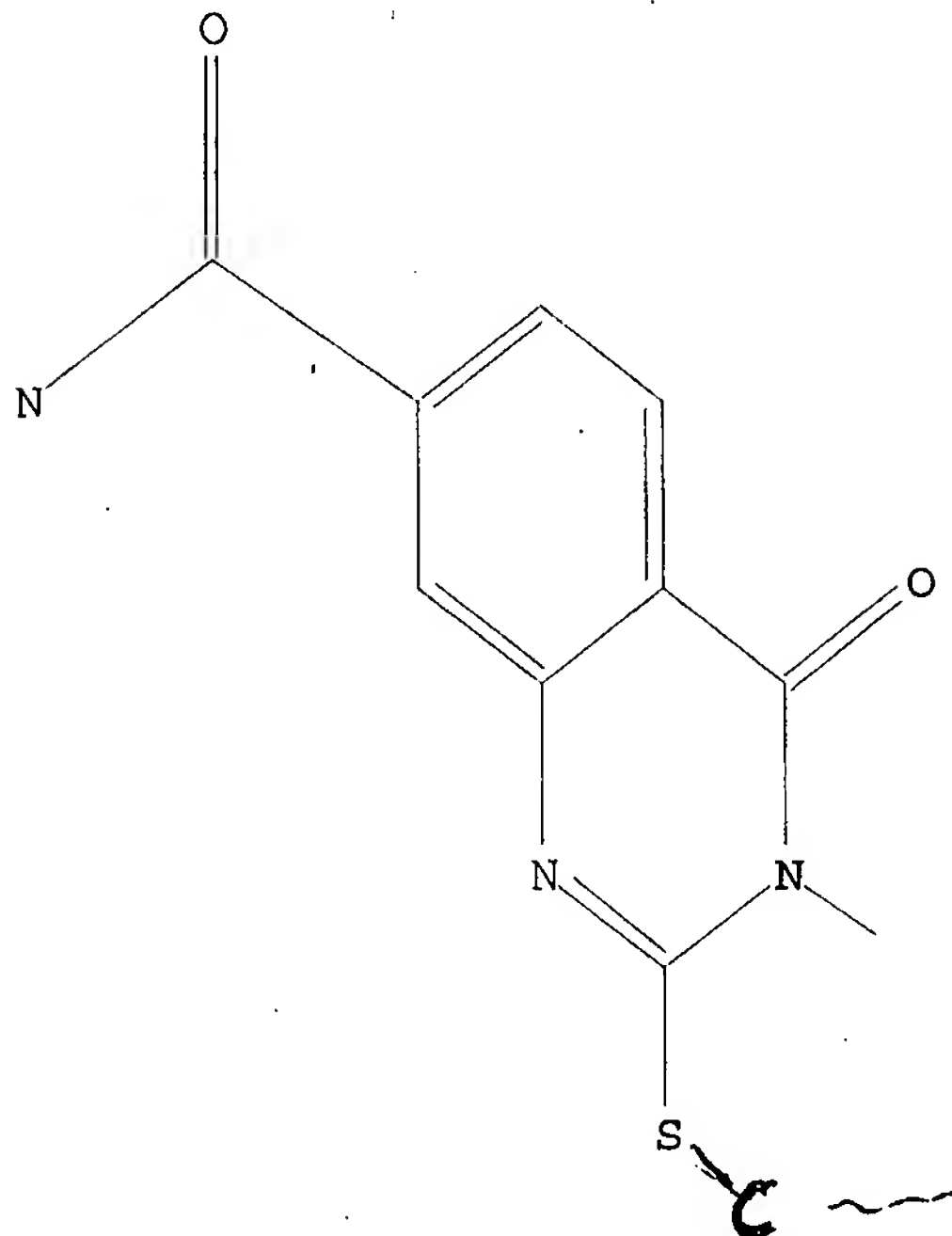
10/594081

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 09:19:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 163 TO ITERATE

100.0% PROCESSED 163 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2494 TO 4026

PROJECTED ANSWERS: 1623 TO 2897

L2 50 SEA SSS SAM L1

=> d scan

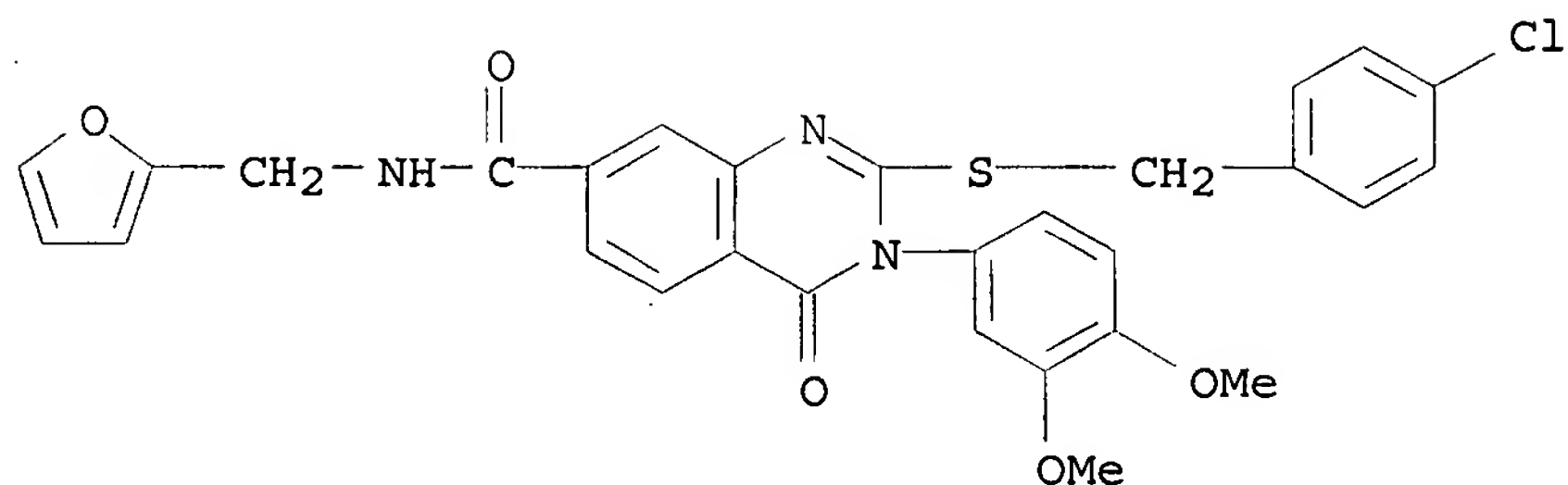
L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 7-Quinazolinecarboxamide, 2-[[[4-chlorophenyl)methyl]thio]-3-(3,4-dimethoxyphenyl)-N-(2-furanylmethyl)-3,4-dihydro-4-oxo- (9CI)

MF C29 H24 Cl N3 O5 S

8/6/2007

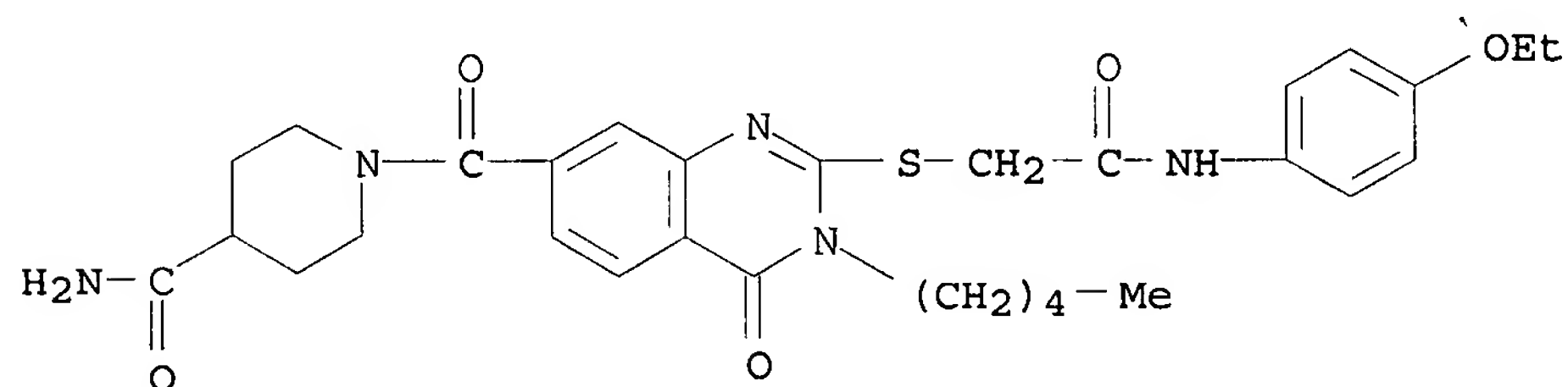
10/594081



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

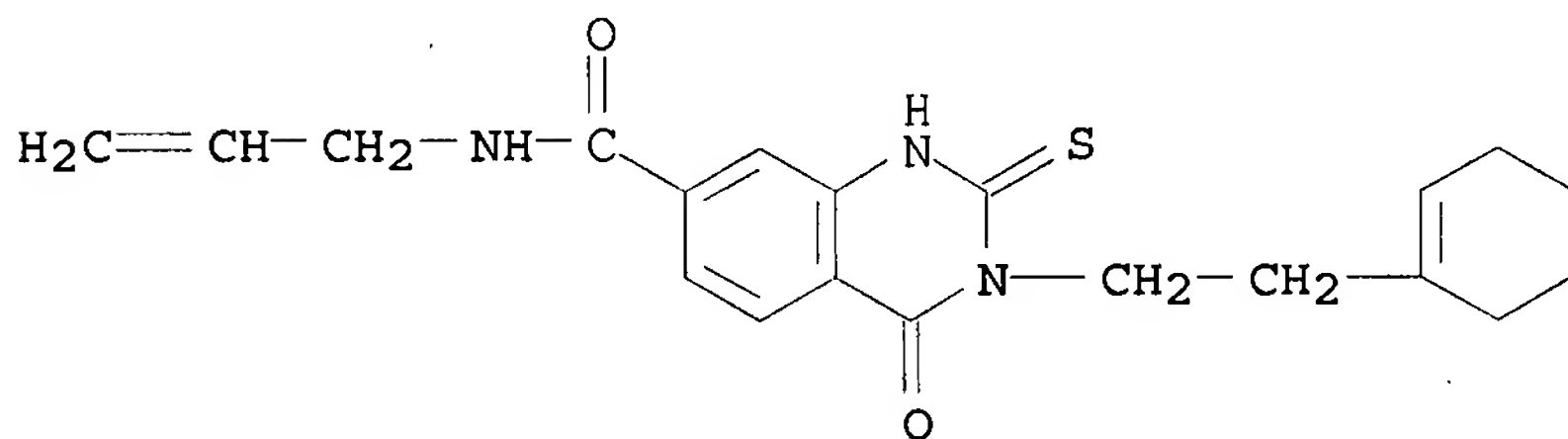
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 4-Piperidinecarboxamide, 1-[[2-[[2-[(4-ethoxyphenyl)amino]-2-oxoethyl]thio]-3,4-dihydro-4-oxo-3-pentyl-7-quinazolinyl]carbonyl] - (9CI)
MF C30 H37 N5 O5 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 7-Quinazolinecarboxamide, 3-[2-(1-cyclohexen-1-yl)ethyl]-1,2,3,4-tetrahydro-4-oxo-N-2-propenyl-2-thioxo- (9CI)
MF C20 H23 N3 O2 S

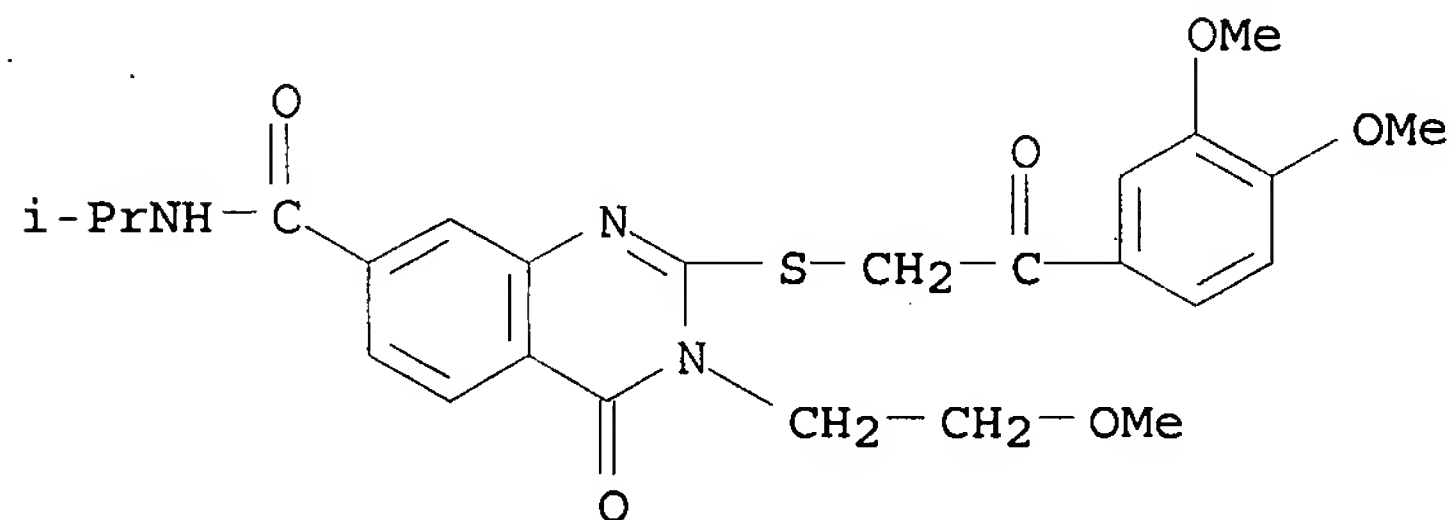


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

8/6/2007

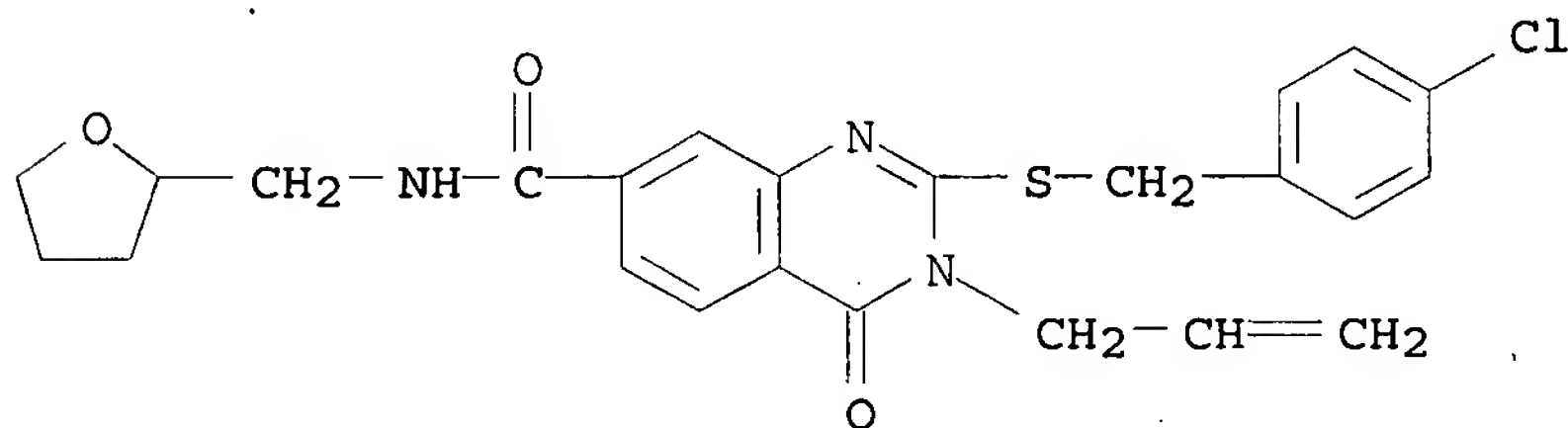
10/594081

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 7-Quinazolinecarboxamide, 2-[[2-(3,4-dimethoxyphenyl)-2-oxoethyl]thio]-3,4-dihydro-3-(2-methoxyethyl)-N-(1-methylethyl)-4-oxo-
MF C25 H29 N3 O6 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 7-Quinazolinecarboxamide, 2-[[[(4-chlorophenyl)methyl]thio]-3,4-dihydro-4-oxo-3-(2-propenyl)-N-[(tetrahydro-2-furanyl)methyl]- (9CI)
MF C24 H24 Cl N3 O3 S

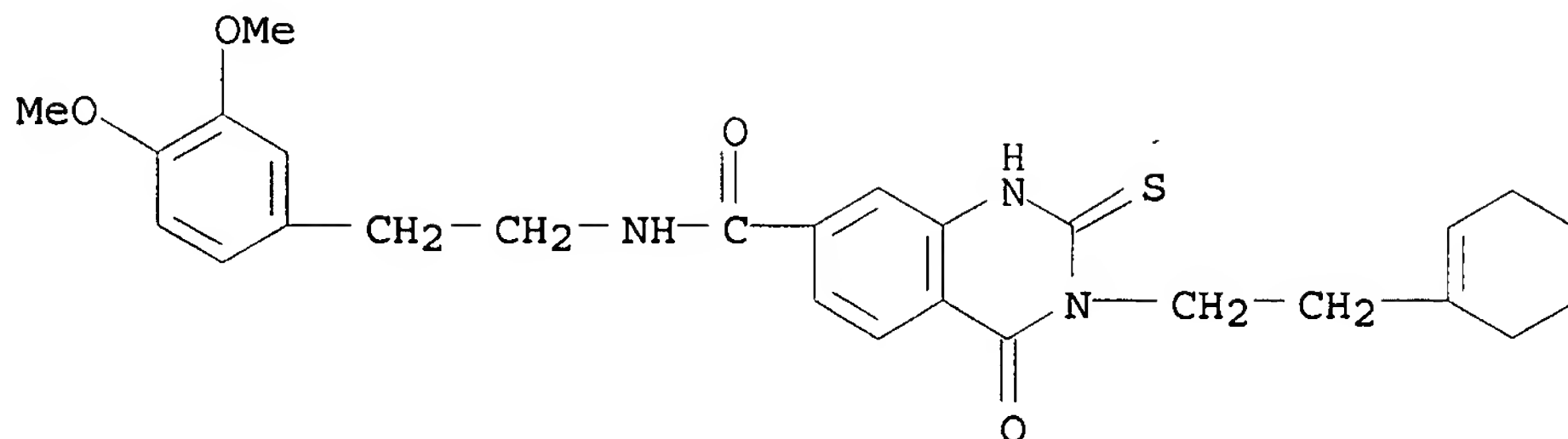


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 50 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 7-Quinazolinecarboxamide, 3-[2-(1-cyclohexen-1-yl)ethyl]-N-[2-(3,4-dimethoxyphenyl)ethyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (9CI)
MF C27 H31 N3 O4 S

8/6/2007

10/594081



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 11 full

FULL SEARCH INITIATED 09:20:37 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3244 TO ITERATE

100.0% PROCESSED 3244 ITERATIONS

2384 ANSWERS

SEARCH TIME: 00.00.01

L3 2384 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

173.45

173.66

FILE 'CAPLUS' ENTERED AT 09:20:54 ON 06 AUG 2007

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 6 Aug 2007 VOL 147 ISS 7

FILE LAST UPDATED: 3 Aug 2007 (20070803/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

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L4

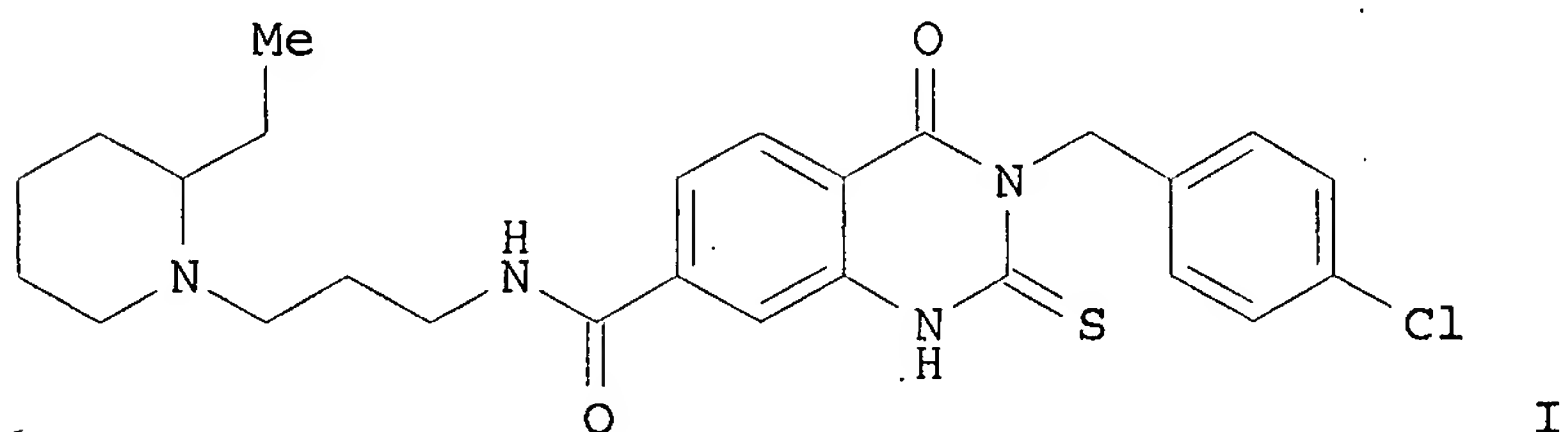
7 L3

8/6/2007

10/594081

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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1331198 CAPLUS <<LOGINID::20070806>>
DOCUMENT NUMBER: 146:184625
TITLE: 3D pharmacophore based virtual screening of T-type calcium channel blockers
AUTHOR(S): Doddareddy, Munikumar Reddy; Choo, Hyunah; Cho, Yong Seo; Rhim, Hyewhon; Koh, Hun Yeong; Lee, Jung-Ha; Jeong, Seong-Woo; Pae, Ae Nim
CORPORATE SOURCE: Life Science Division, Korea Institute of Science and Technology, Seoul, 130-650, S. Korea
SOURCE: Bioorganic & Medicinal Chemistry (2007), 15(2), 1091-1105
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Virtual screening of the com. databases was done by using a three dimensional pharmacophore previously developed for T-type calcium channel blockers using CATALYST program. Biol. evaluation of 25 selected virtual hits resulted in the discovery of a highly potent compound (I) with IC50 value of 0.10 μ M, eight times as potent as the known selective T-type calcium channel blocker, mibefradil. Search for similar compds. yielded several hits with micro-molar IC50 values and high T-type calcium channel selectivity. Based on the structure of the virtual hits, small mol. libraries with novel scaffolds were designed, synthesis and biol. evaluation of which are currently in progress. This result shows a successful example of ligand based drug discovery of potent T-type calcium channel blockers.

IT 362501-11-9 421590-15-0 421590-40-1
421591-85-7 422282-81-3 422282-82-4
422283-37-2 422283-38-3 422283-46-3
422283-47-4 422283-51-0 422528-93-6
422529-24-6 451467-55-3 896701-08-9
896701-22-7

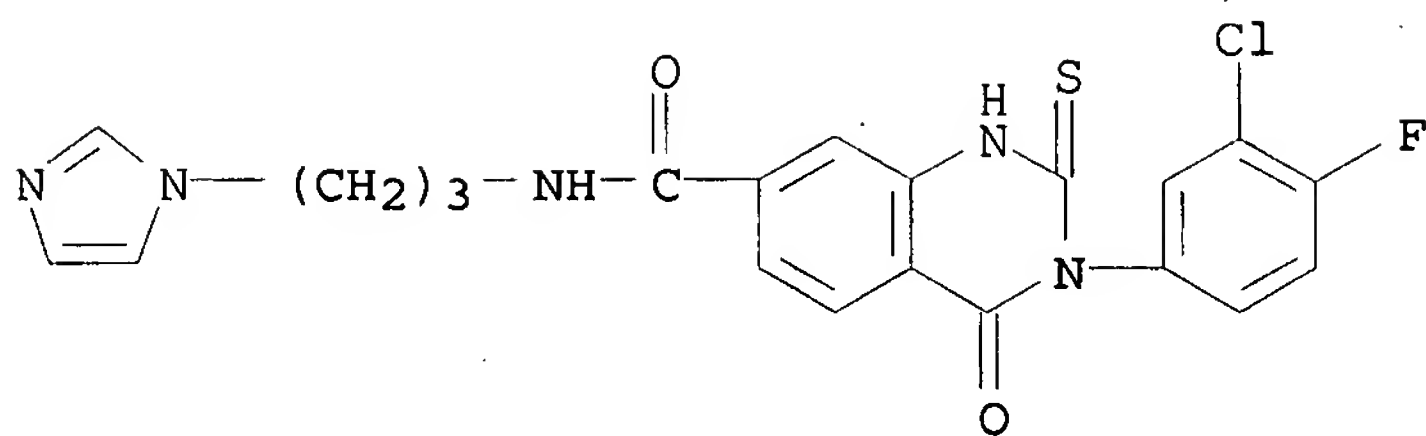
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(3D pharmacophore based virtual screening of T-type calcium channel blockers)

RN 362501-11-9 CAPLUS

CN 7-Quinazolinecarboxamide, 3-(3-chloro-4-fluorophenyl)-1,2,3,4-tetrahydro-N-[3-(1H-imidazol-1-yl)propyl]-4-oxo-2-thioxo- (CA INDEX NAME)

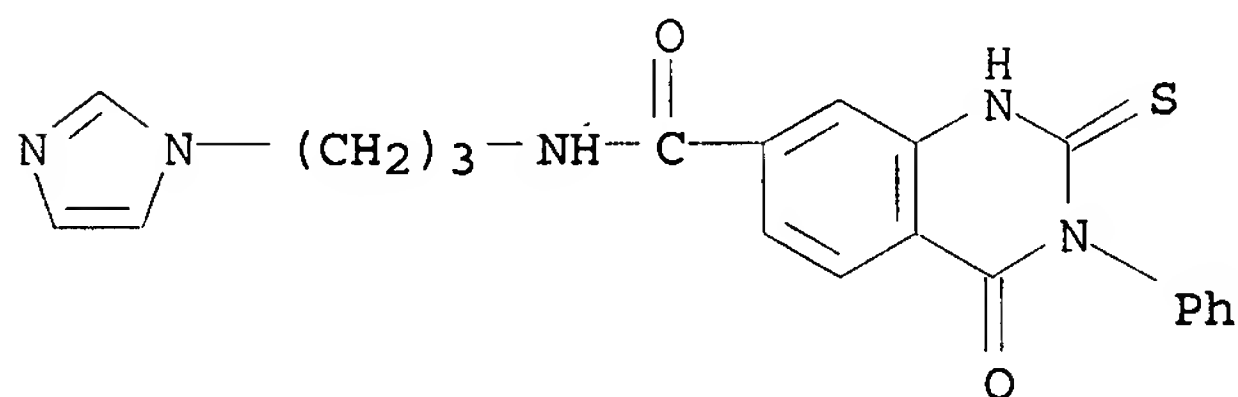
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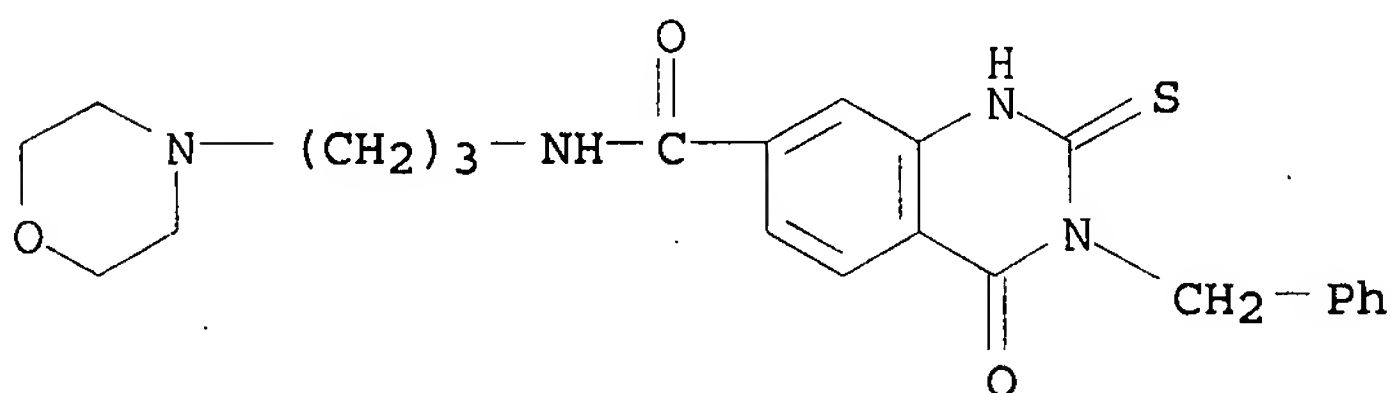
RN 421590-15-0 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-N-[3-(1H-imidazol-1-yl)propyl]-4-oxo-3-phenyl-2-thioxo- (CA INDEX NAME)



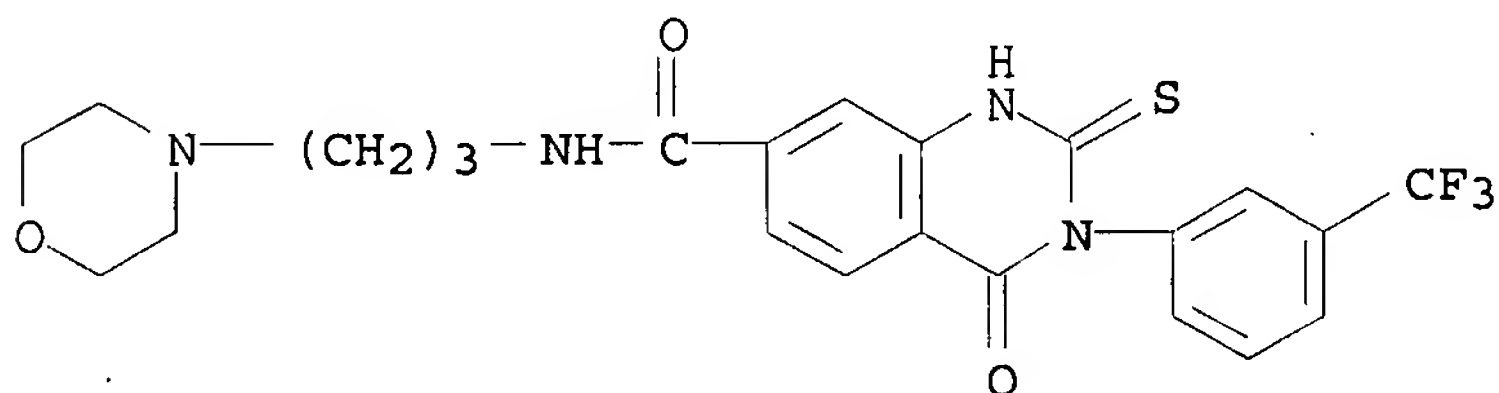
RN 421590-40-1 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-N-[3-(4-morpholinyl)propyl]-4-oxo-3-(phenylmethyl)-2-thioxo- (CA INDEX NAME)



RN 421591-85-7 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-N-[3-(4-morpholinyl)propyl]-4-oxo-2-thioxo-3-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



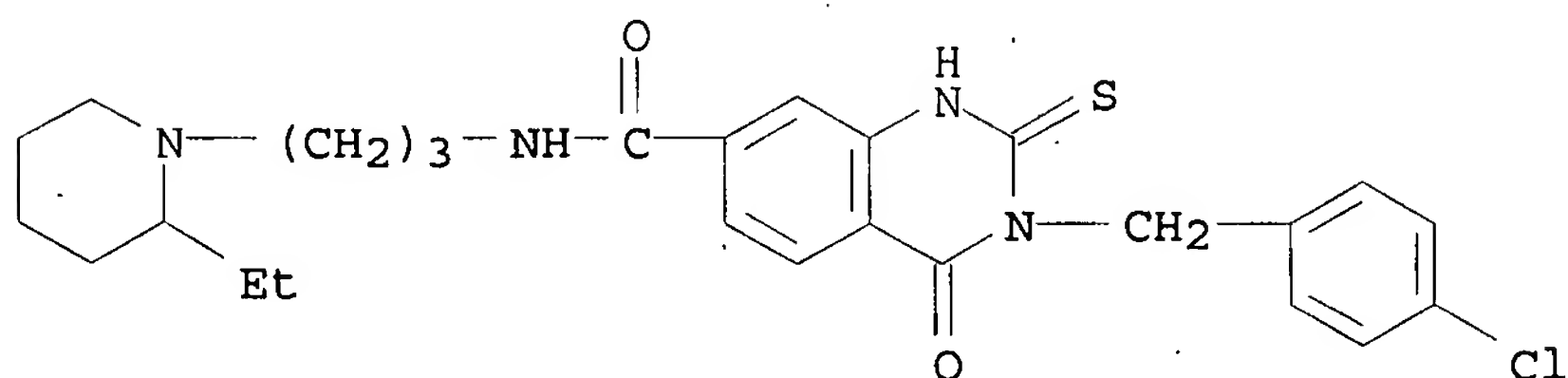
RN 422282-81-3 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-N-[3-(2-ethyl-1H-imidazol-1-yl)propyl]-4-oxo-2-thioxo- (CA INDEX NAME)

8/6/2007

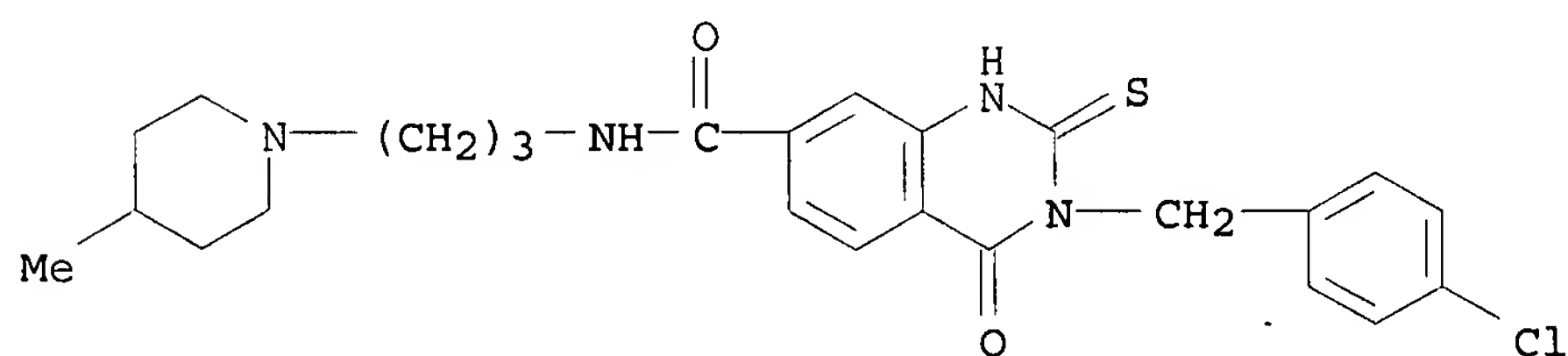
10/594081

piperidiny]propyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (CA INDEX NAME)



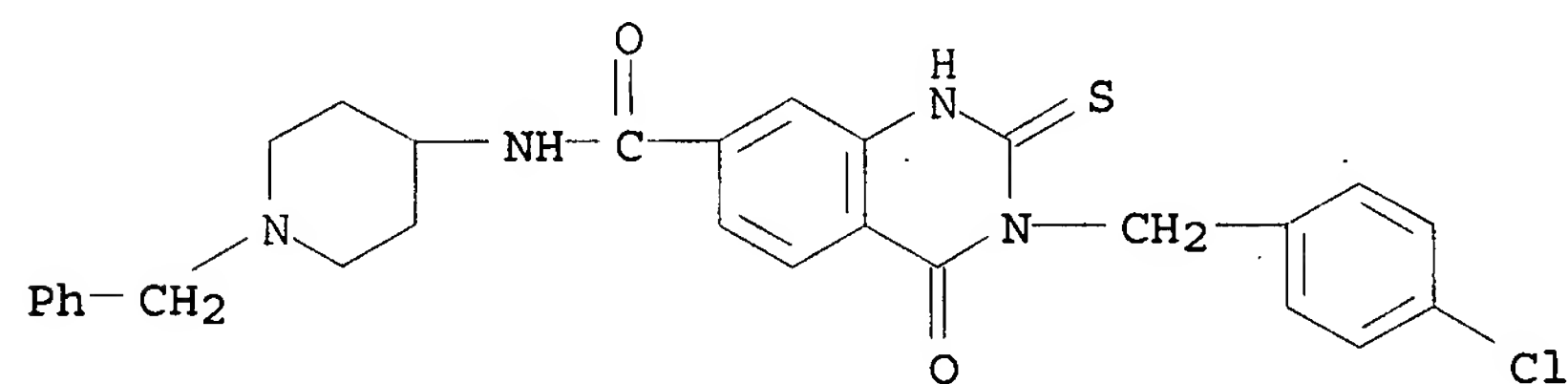
RN 422282-82-4 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-N-[3-(4-methyl-1-piperidiny]propyl]-4-oxo-2-thioxo- (CA INDEX NAME)



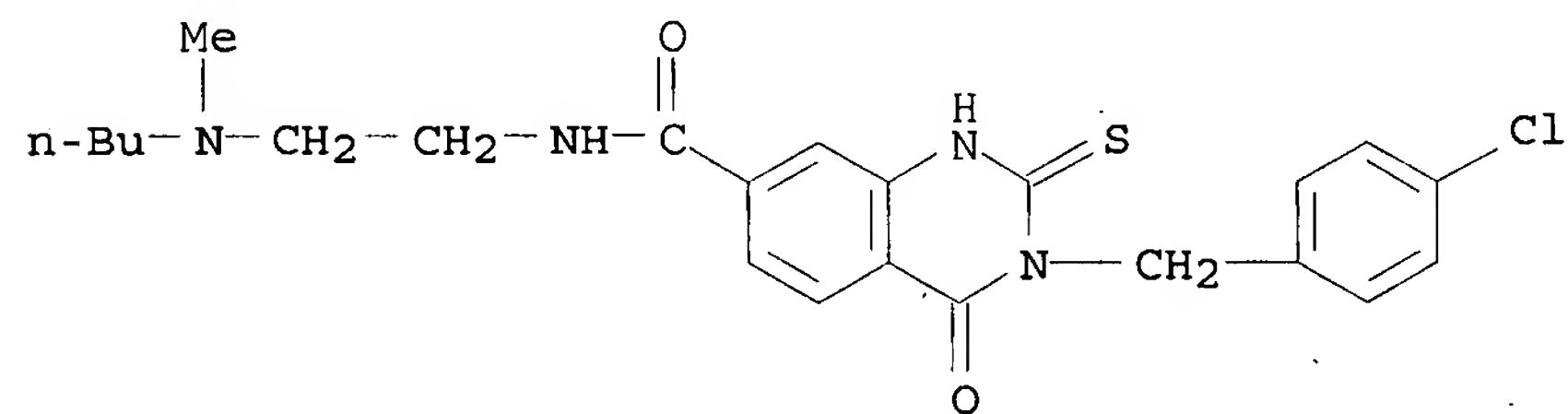
RN 422283-37-2 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-4-oxo-N-[1-(phenylmethyl)-4-piperidiny]-2-thioxo- (CA INDEX NAME)



RN 422283-38-3 CAPLUS

CN 7-Quinazolinecarboxamide, N-[2-(butylmethylamino)ethyl]-3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (CA INDEX NAME)

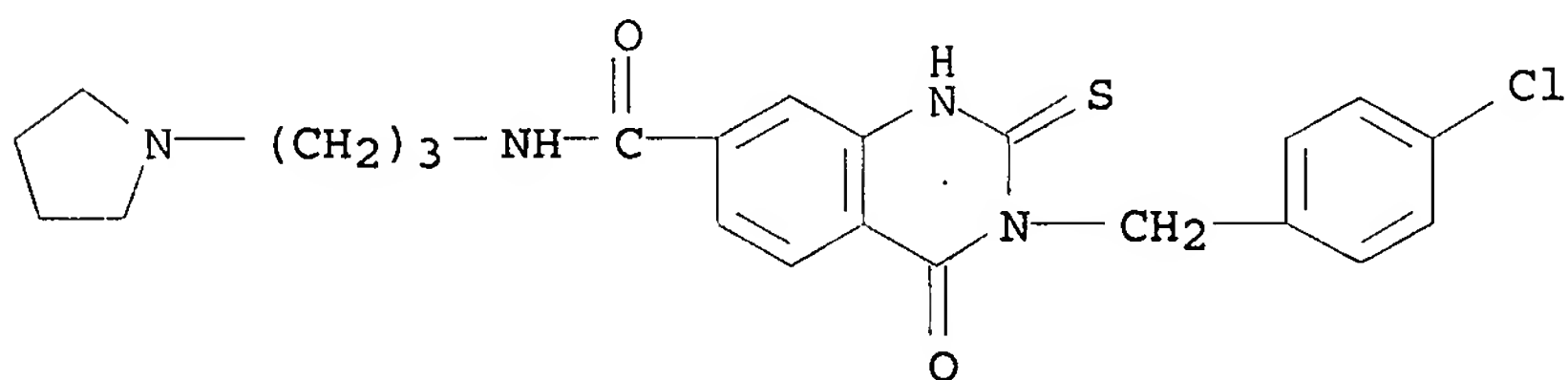


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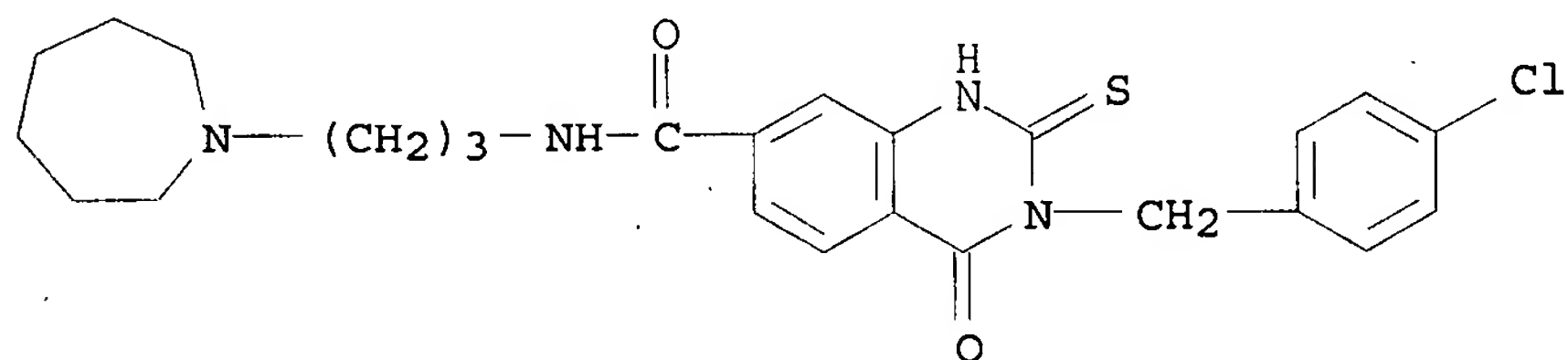
RN 422283-46-3 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-4-oxo-N-[3-(1-pyrrolidinyl)propyl]-2-thioxo- (CA INDEX NAME)



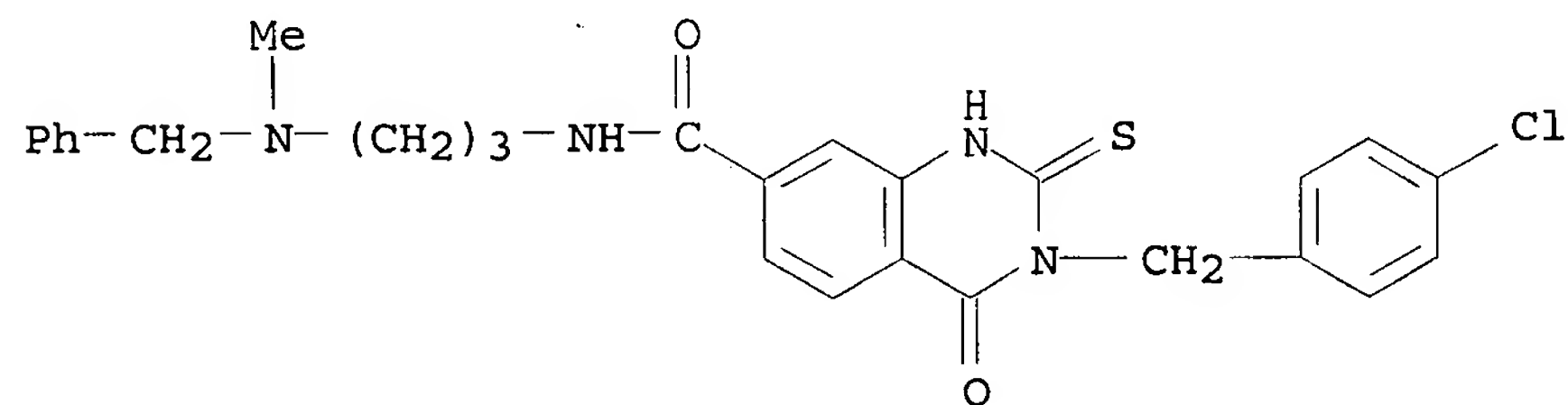
RN 422283-47-4 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-N-[3-(hexahydro-1H-azepin-1-yl)propyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (CA INDEX NAME)



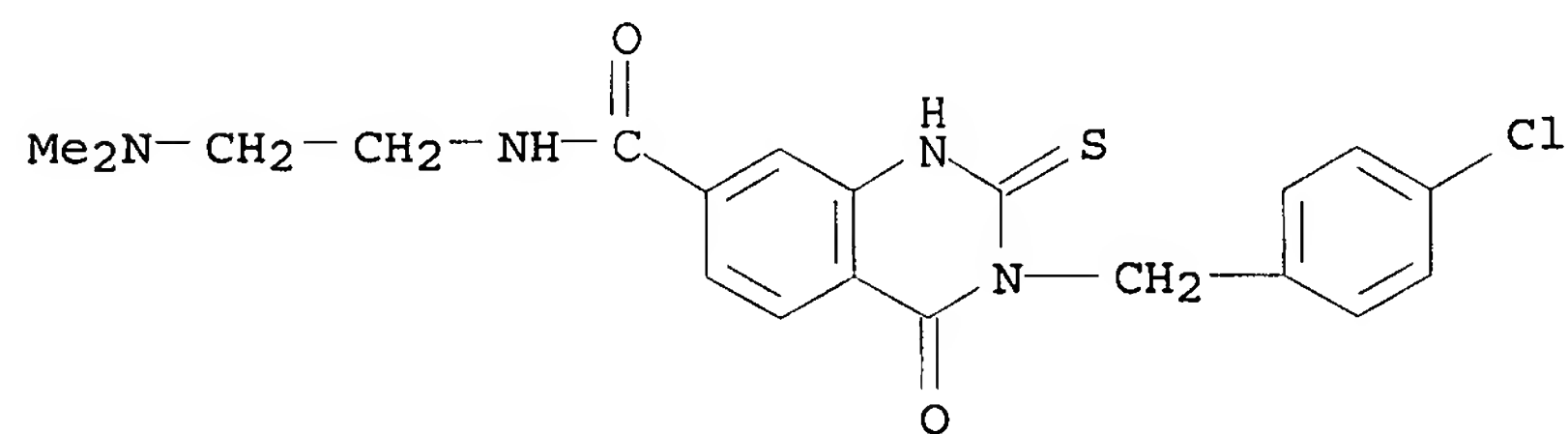
RN 422283-51-0 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-N-[3-[methyl(phenylmethyl)amino]propyl]-4-oxo-2-thioxo- (CA INDEX NAME)



RN 422528-93-6 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-N-[2-(dimethylamino)ethyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (CA INDEX NAME)

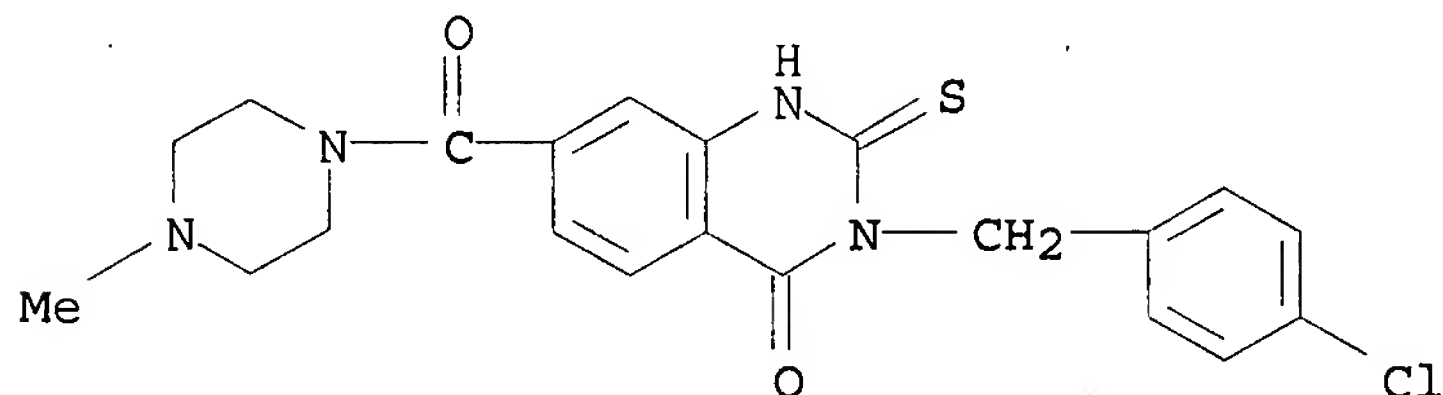


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10/594081

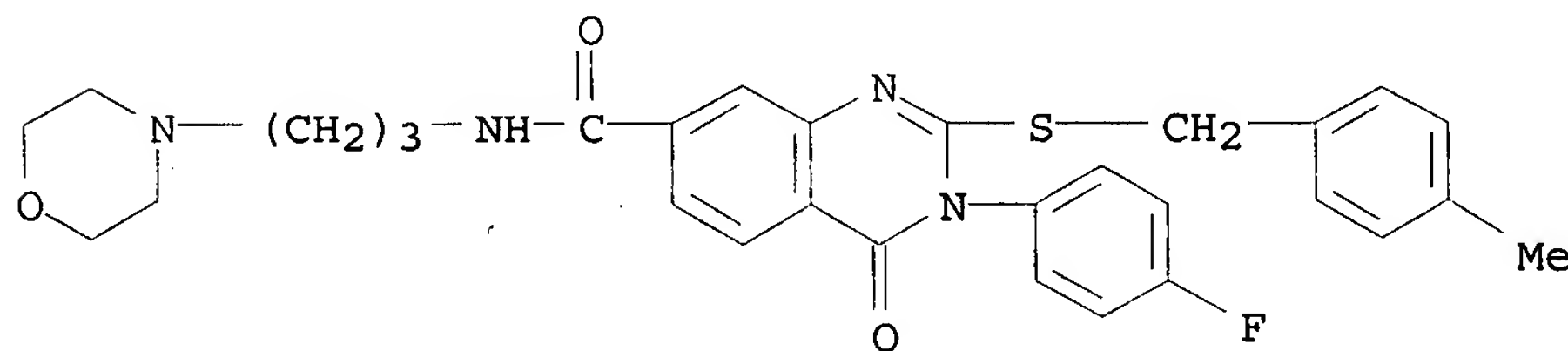
RN 422529-24-6 CAPLUS

CN 4(1H)-Quinazolinone, 3-[(4-chlorophenyl)methyl]-2,3-dihydro-7-[(4-methyl-1-piperazinyl)carbonyl]-2-thioxo- (CA INDEX NAME)



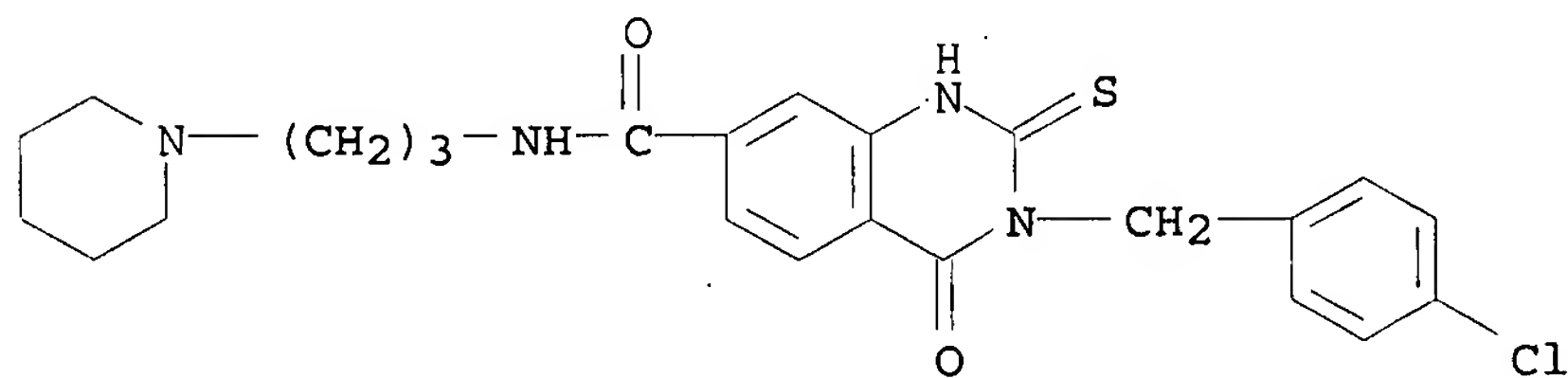
RN 451467-55-3 CAPLUS

CN 7-Quinazolinecarboxamide, 3-(4-fluorophenyl)-3,4-dihydro-2-[[[4-methylphenyl)methyl]thio]-N-[3-(4-morpholinyl)propyl]-4-oxo- (CA INDEX NAME)



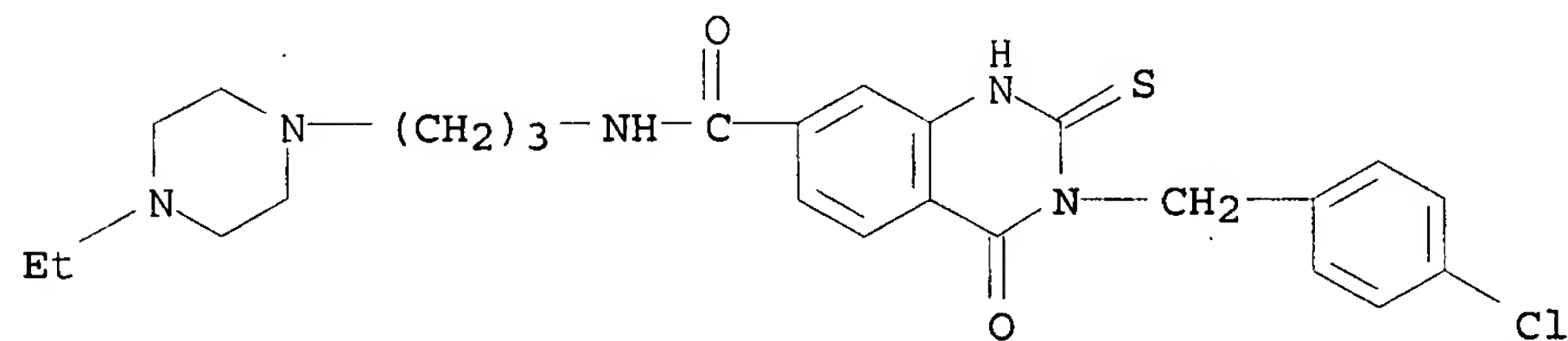
RN 896701-08-9 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-1,2,3,4-tetrahydro-4-oxo-N-[3-(1-piperidiny)propyl]-2-thioxo- (CA INDEX NAME)



RN 896701-22-7 CAPLUS

CN 7-Quinazolinecarboxamide, 3-[(4-chlorophenyl)methyl]-N-[3-(4-ethyl-1-piperazinyl)propyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (CA INDEX NAME)



8/6/2007

10/594081

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1049750 CAPLUS <<LOGINID::20070806>>
DOCUMENT NUMBER: 143:332577
TITLE: Pharmaceutical compositions comprising
anti-inflammatory quinazolinecarboxamides
INVENTOR(S): Gregor, Paul; Harris, Nicholas; Koppel, Juraj; Zhuk,
Regina
PATENT ASSIGNEE(S): Rimonyx Pharmaceuticals Ltd., Israel
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005089068	A2	20050929	WO 2005-IL336	20050324
WO 2005089068	A3	20060727		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1740176	A2	20070110	EP 2005-718909	20050324
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
PRIORITY APPLN. INFO.:			US 2004-555667P	P 20040324
			WO 2005-IL336	W 20050324

OTHER SOURCE(S): MARPAT 143:332577

AB Pharmaceutical compns. comprising quinazolinecarboxamides are capable of inhibiting heparan sulfate-glycosaminoglycan (HS-GAGs) interactions with L-selectin, and useful in the prevention or treatment of various diseases, disorders and conditions mediated by HS-GAGs, particularly inflammatory and autoimmune diseases, viral diseases, cancer, and amyloid disorders. Thus, capsules contained a quinazolinecarboxamide 30.0, starch 305.0, and Mg stearate 5.0 mg/capsule.

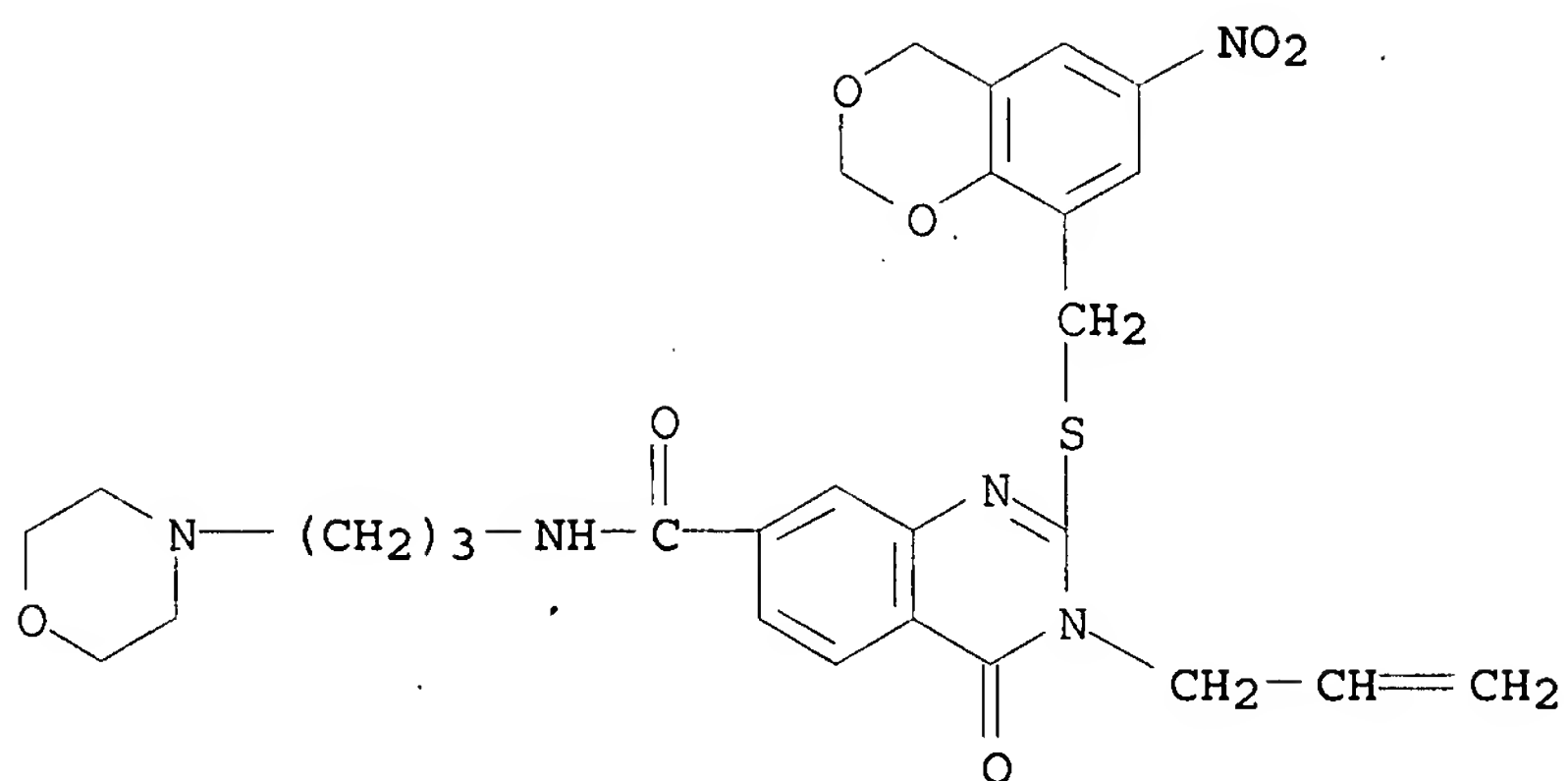
IT 865352-39-2P 865352-41-6P 865352-42-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical compns. comprising anti-inflammatory quinazolinecarboxamides)

RN 865352-39-2 CAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-N-[3-(4-morpholinyl)propyl]-2-[[[(6-nitro-4H-1,3-benzodioxin-8-yl)methyl]thio]-4-oxo-3-(2-propenyl)]-(9CI)
(CA INDEX NAME)

8/6/2007

10/594081

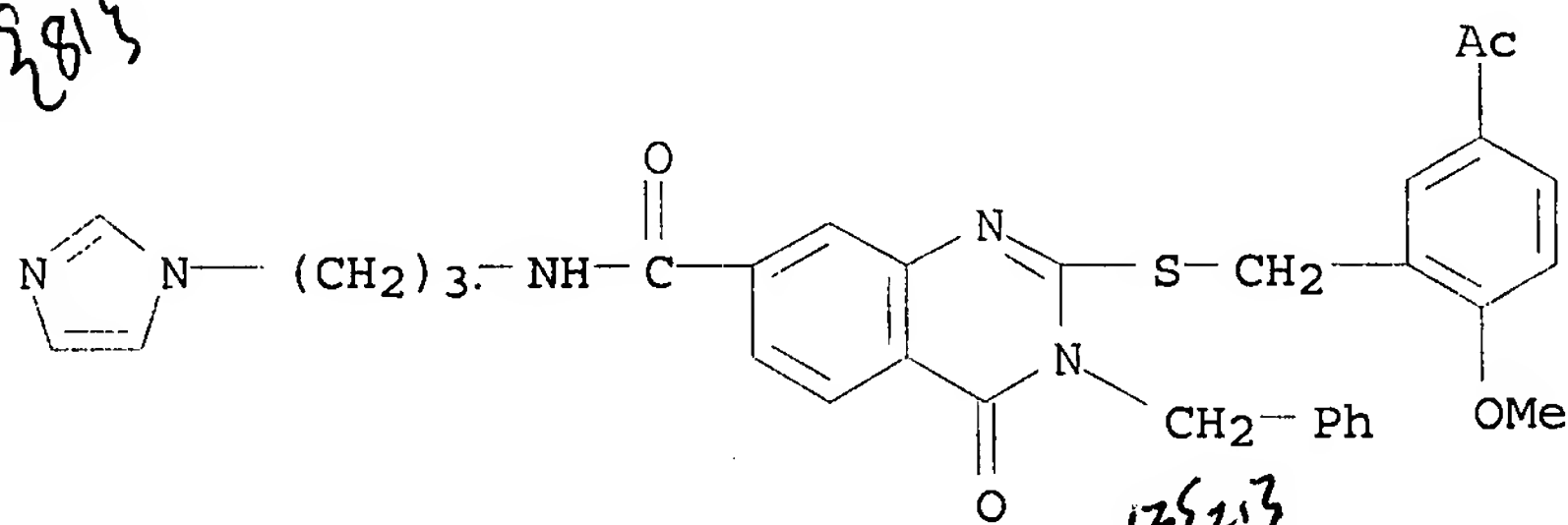


*Compd
2010*

RN 865352-41-6 CAPLUS

CN 7-Quinazolinecarboxamide, 2-[[[(5-acetyl-2-methoxyphenyl)methyl]thio]-3,4-dihydro-N-[3-(1H-imidazol-1-yl)propyl]-4-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

42813



2{1(2)}

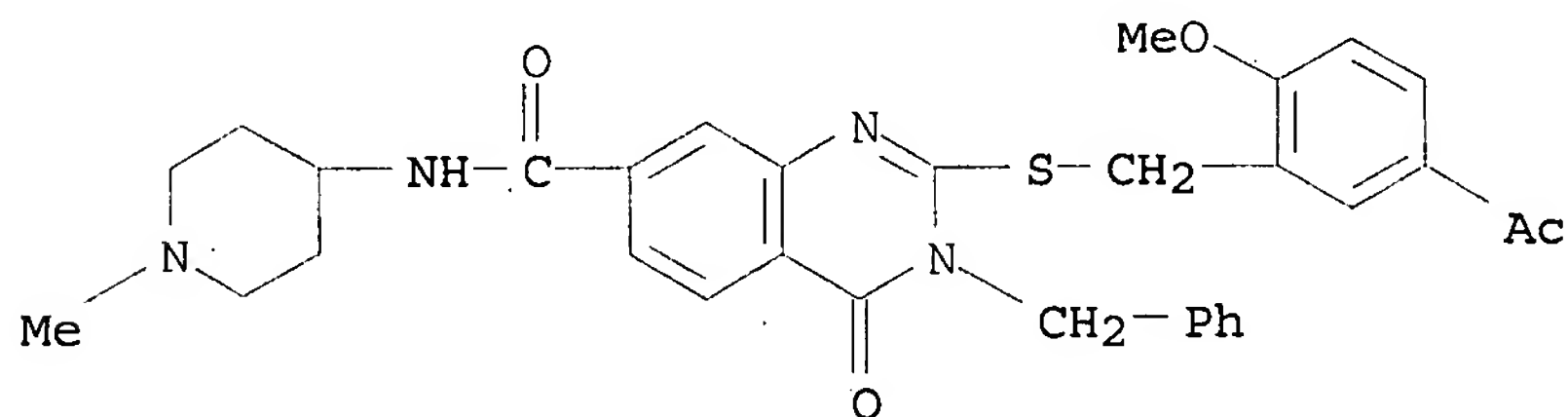
2011

13{213}

11{8} similar

RN 865352-42-7 CAPLUS

CN 7-Quinazolinecarboxamide, 2-[[[(5-acetyl-2-methoxyphenyl)methyl]thio]-3,4-dihydro-N-(1-methyl-4-piperidinyl)-4-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



2012

IT 309746-48-3 309750-28-5 361158-32-9
422289-69-8 422291-49-4 422291-52-9
422291-53-0 422531-57-5 451467-55-3
851814-47-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

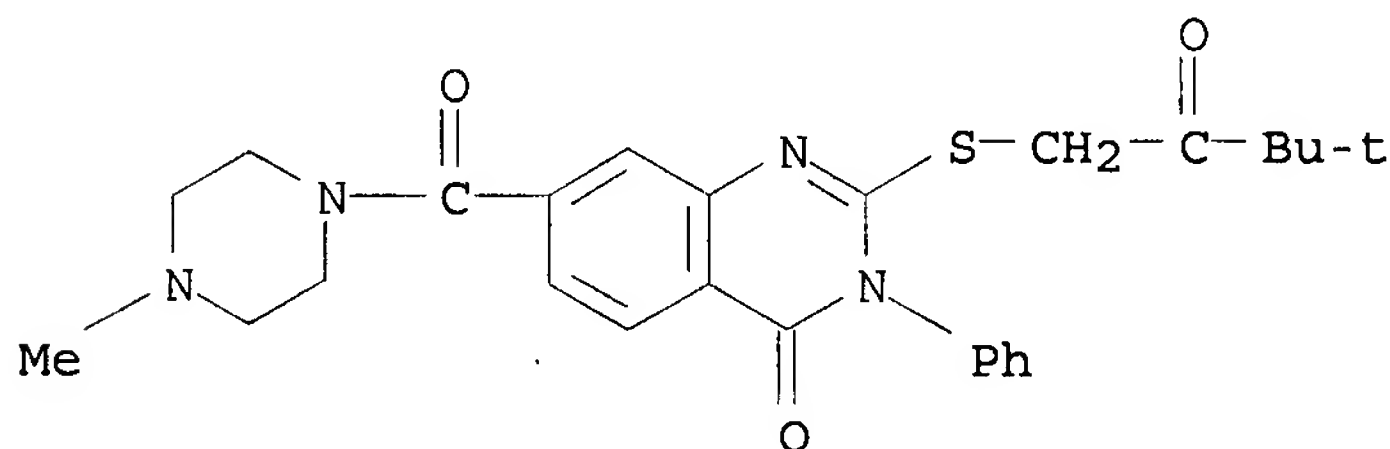
8/6/2007

10/594081

(pharmaceutical compns. comprising anti-inflammatory
quinazolinecarboxamides)

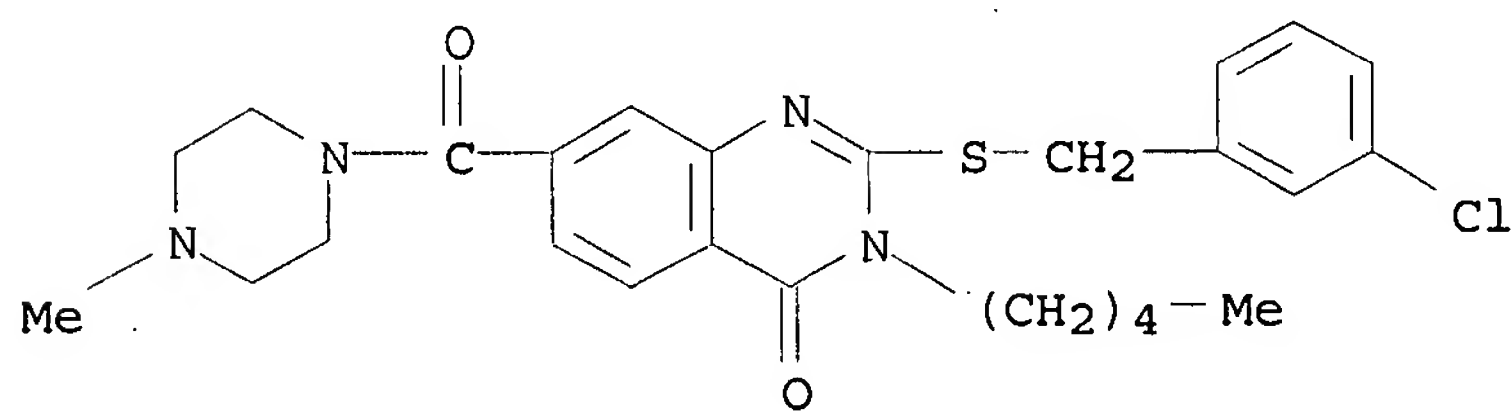
RN 309746-48-3 CAPLUS

CN Piperazine, 1-[[2-[(3,3-dimethyl-2-oxobutyl)thio]-3,4-dihydro-4-oxo-3-phenyl-7-quinazolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



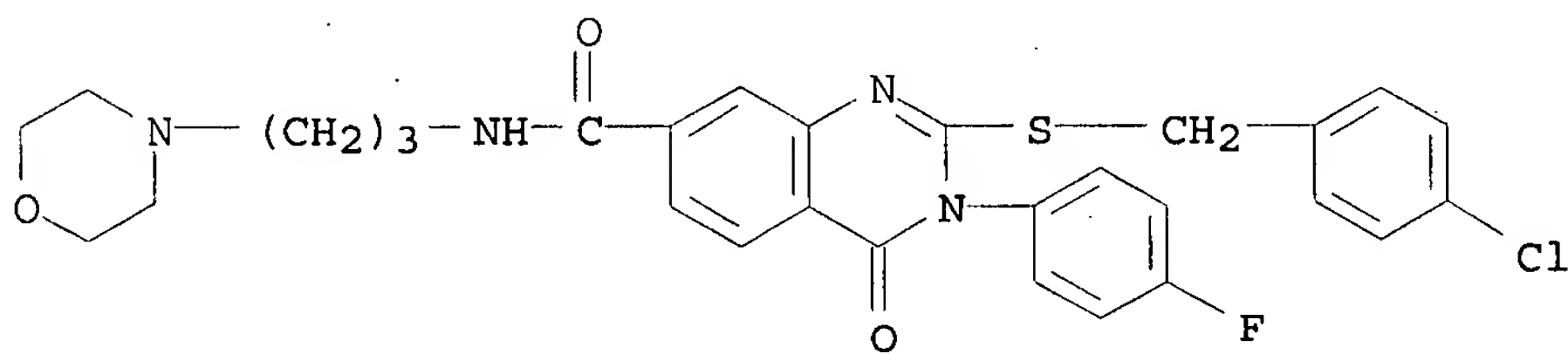
RN 309750-28-5 CAPLUS

CN Piperazine, 1-[[2-[[[(3-chlorophenyl)methyl]thio]-3,4-dihydro-4-oxo-3-pentyl-7-quinazolinyl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 361158-32-9 CAPLUS

CN 7-Quinazolinecarboxamide, 2-[[[(4-chlorophenyl)methyl]thio]-3-(4-fluorophenyl)-3,4-dihydro-N-[3-(4-morpholinyl)propyl]-4-oxo- (9CI) (CA INDEX NAME)

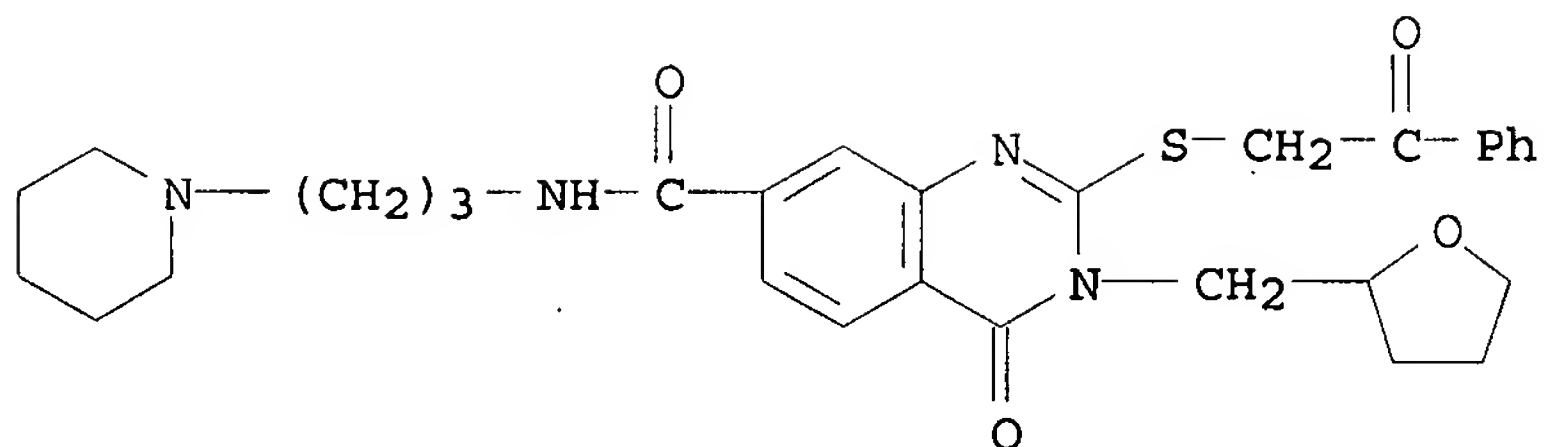


RN 422289-69-8 CAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-4-oxo-2-[(2-oxo-2-phenylethyl)thio]-N-[3-(1-piperidinyl)propyl]-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

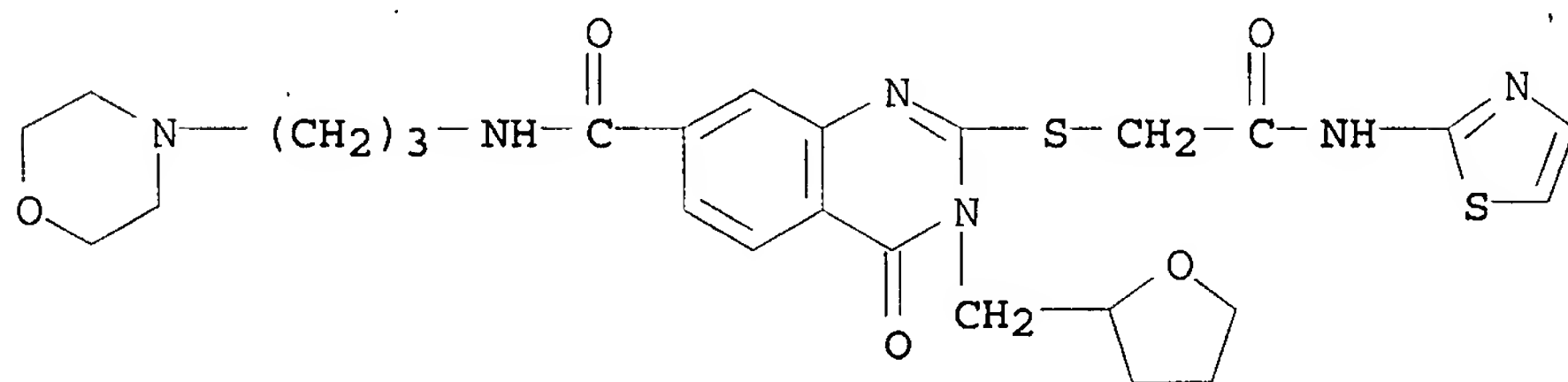
8/6/2007

10/594081



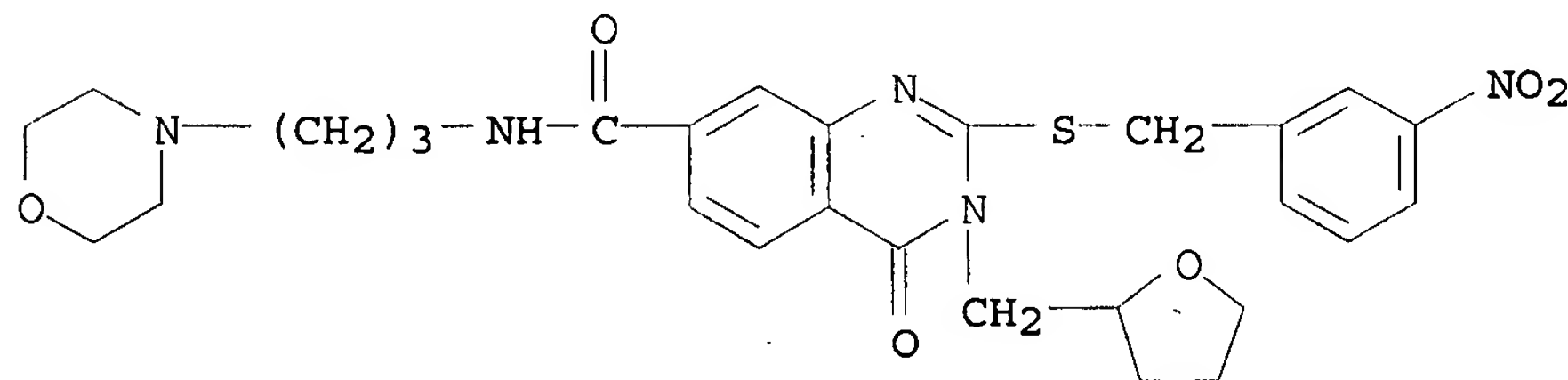
RN 422291-49-4 CAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-N-[3-(4-morpholinyl)propyl]-4-oxo-2-[[2-oxo-2-(2-thiazolylamino)ethyl]thio]-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



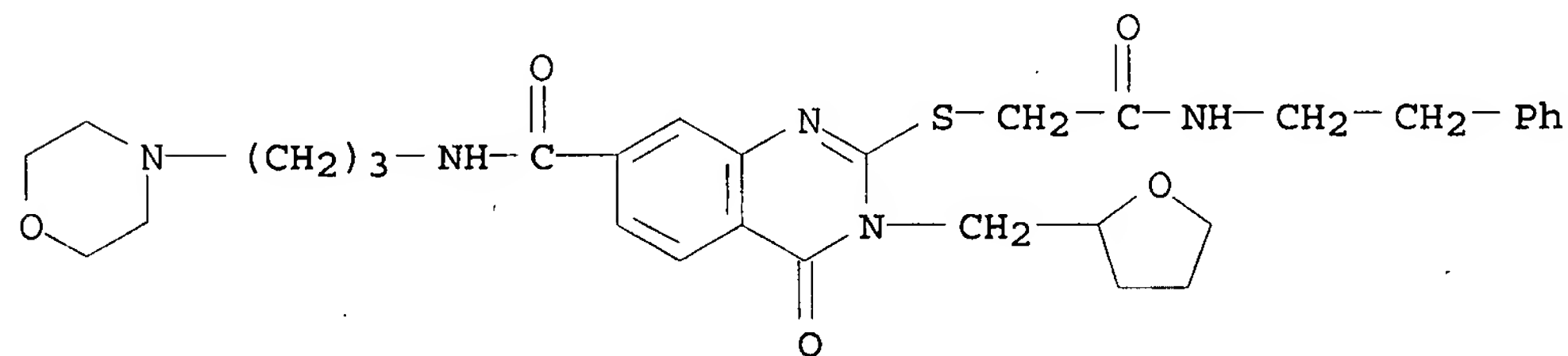
RN 422291-52-9 CAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-N-[3-(4-morpholinyl)propyl]-2-[[[3-nitrophenyl)methyl]thio]-4-oxo-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



RN 422291-53-0 CAPLUS

CN 7-Quinazolinecarboxamide, 3,4-dihydro-N-[3-(4-morpholinyl)propyl]-4-oxo-2-[[2-oxo-2-[(2-phenylethyl)amino]ethyl]thio]-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

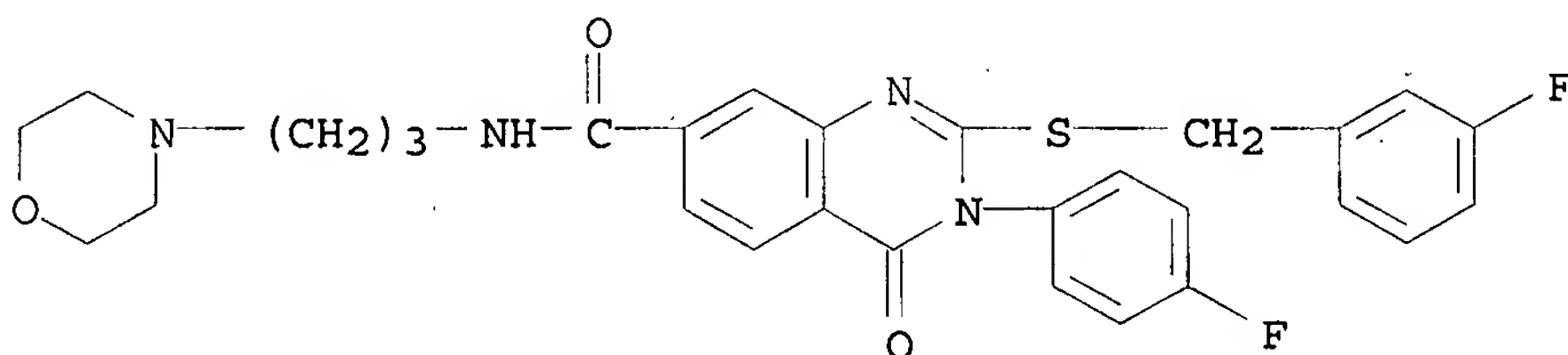


8/6/2007

10/594081

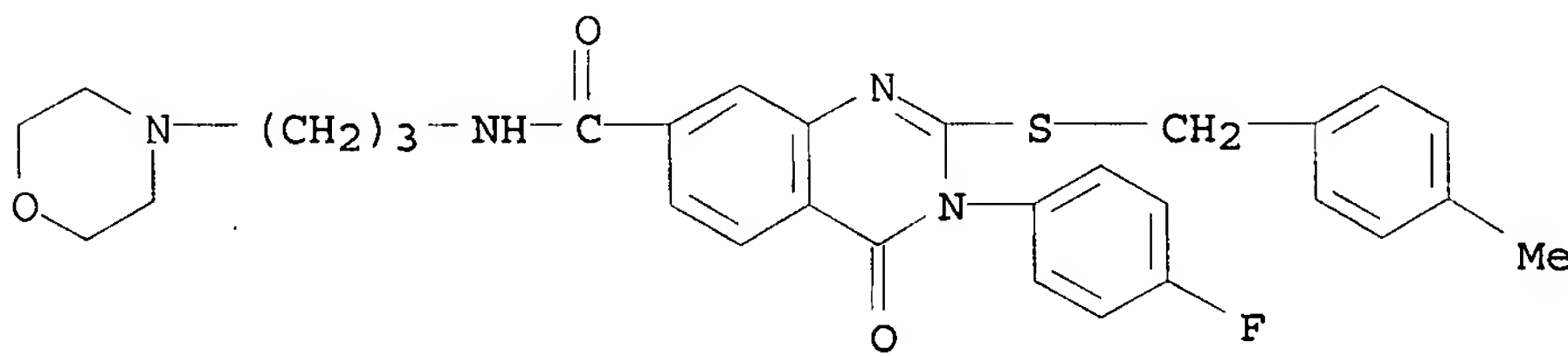
RN 422531-57-5 CAPLUS

CN 7-Quinazolinecarboxamide, 3-(4-fluorophenyl)-2-[[3-(4-fluorophenyl)methyl]thio]-3,4-dihydro-N-[3-(4-morpholinyl)propyl]-4-oxo- (9CI) (CA INDEX NAME)



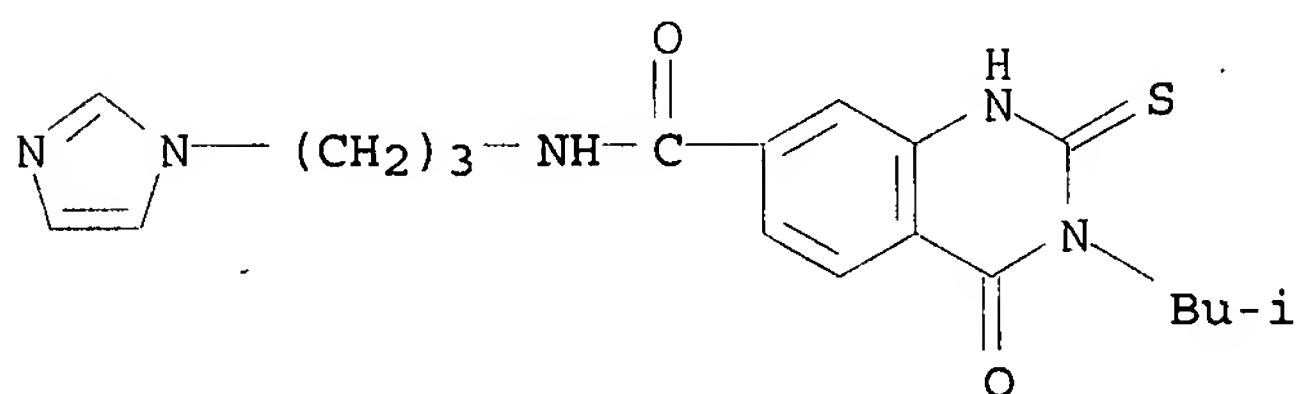
RN 451467-55-3 CAPLUS

CN 7-Quinazolinecarboxamide, 3-(4-fluorophenyl)-3,4-dihydro-2-[[4-methylphenyl)methyl]thio]-N-[3-(4-morpholinyl)propyl]-4-oxo- (CA INDEX NAME)



RN 851814-47-6 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-N-[3-(1H-imidazol-1-yl)propyl]-3-(2-methylpropyl)-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:395446 CAPLUS <<LOGINID::20070806>>

DOCUMENT NUMBER: 142:406543

TITLE: TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators

INVENTOR(S): Xu, Wei; Zheng, Wentao; Baly, Deborah Lynn; Galan, Adam Antoni; Ibrahim, Mohamed Abdulkader; Jaeger, Christopher; Kearney, Patrick; Leahy, James William; Lewis, Gary Lee; McMillan, Kirk; Noguchi, Robin Tammie; Nuss, John M.; Parks, Jason Jevious; Schnepp,

8/6/2007

10/594081

PATENT ASSIGNEE(S): Kevin Luke; Shi, Xian; Williams, Matthew Alan
SOURCE: Exelixis, Inc., USA
PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005040355	A2	20050506	WO 2004-US35469	20041022
WO 2005040355	A3	20050804		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004283313	A1	20050506	AU 2004-283313	20041022
CA 2542064	A1	20050506	CA 2004-2542064	20041022
EP 1678121	A2	20060712	EP 2004-796442	20041022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRIORITY APPLN. INFO.:			US 2003-514377P	P 20031024
			WO 2004-US35469	W 20041022

OTHER SOURCE(S): MARPAT 142:406543

AB The invention provides compds. and methods for inhibition of kinases, such as those of the TAO family, more specifically KIAA1361, TAO, and JIK kinases. The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions. Thus, N-(2,3-dihydro-1,4-benzodioxin-2-ylmethyl)-11-oxo-10,11-dihydro-5H-dibenzo[b,d][1,4]diazepine-3-carboxamide was synthesized. This compound exhibited an IC50 with JIK kinase of <50 nM and an IC50 with TAO kinase of between 50 and 500 nM.

IT 422281-45-6P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

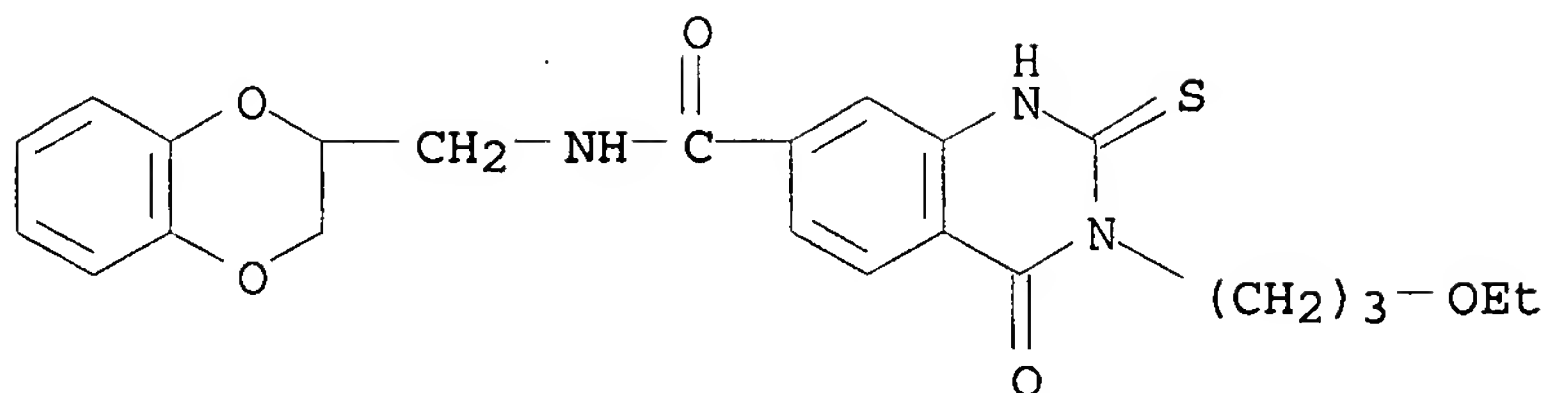
(TAO kinase inhibitors for pharmaceutical use and for screening for kinase modulators)

RN 422281-45-6 CAPLUS

CN 7-Quinazolinecarboxamide, N-[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]-3-(3-ethoxypropyl)-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

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10/594081

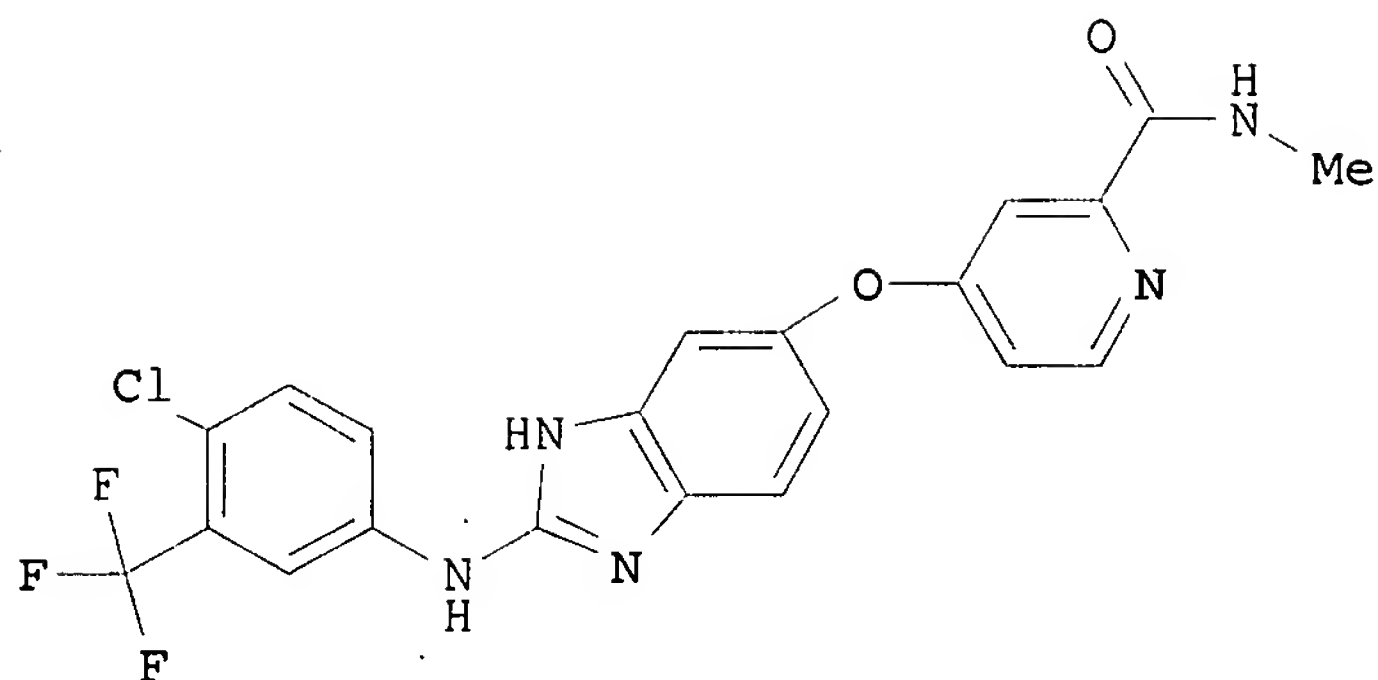


L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:857399 CAPLUS <<LOGINID::20070806>>
DOCUMENT NUMBER: 141:343478
TITLE: Use of small molecule compounds for immunopotentialiation
INVENTOR(S): Valiante, Nicholas
PATENT ASSIGNEE(S): Chiron Corporation, USA
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087153	A2	20041014	WO 2004-US10331	20040329
WO 2004087153	A3	20050317		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2520124	A1	20041014	CA 2004-2520124	20040329
US 2005136065	A1	20050623	US 2004-814480	20040329
EP 1608369	A2	20051228	EP 2004-758593	20040329
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
PRIORITY APPLN. INFO.:			US 2003-458888P	P 20030328
			WO 2004-US10331	W 20040329

OTHER SOURCE(S): MARPAT 141:343478
GI

8/6/2007



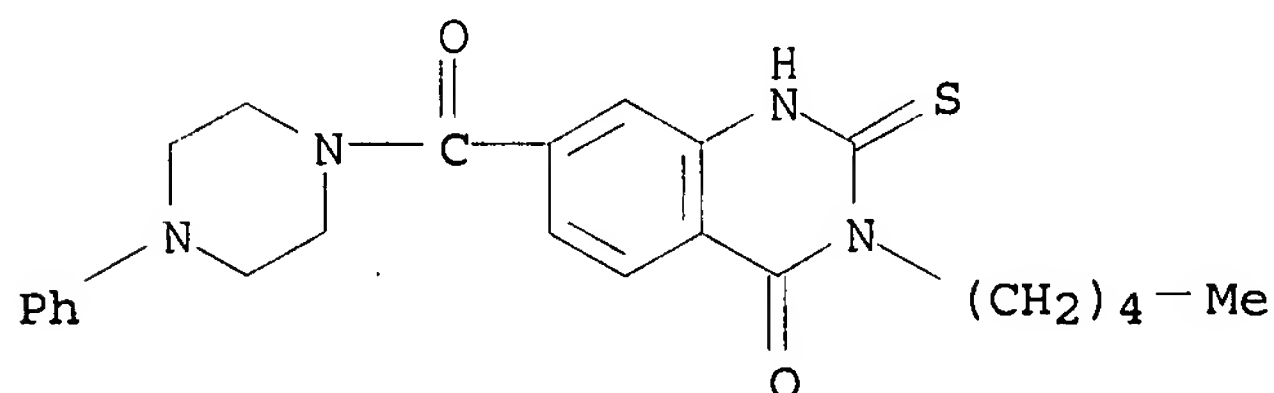
AB The invention provides immunostimulatory compns. comprising a small mol. immunopotentiator (SMIP) compound and methods of administration thereof. Also provided are methods of administering a SMIP compound in an effective amount to enhance the immune response of a subject to an antigen. Further provided are compns. and methods of administering SMIP compds. alone or in combination with another agent for the treatment of cancer, infectious diseases and/or allergies/asthma. Preparation of selected compds., e.g. I, is included.

IT 309940-25-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(small mol. compds. for immunopotentialiation)

RN 309940-25-8 CAPLUS

CN Piperazine, 1-phenyl-4-[(1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo-7-quinazolinyl)carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:639606 CAPLUS <<LOGINID::20070806>>

DOCUMENT NUMBER: 139:292223

TITLE: Synthesis of Substituted 4-Oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines and 4-Oxo-3,4-dihydroquinazoline-2-thiols

AUTHOR(S): Ivachtchenko, Alexandre V.; Kovalenko, Sergiy M.; Drushlyak, Oleksandr G.

CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 775-788

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

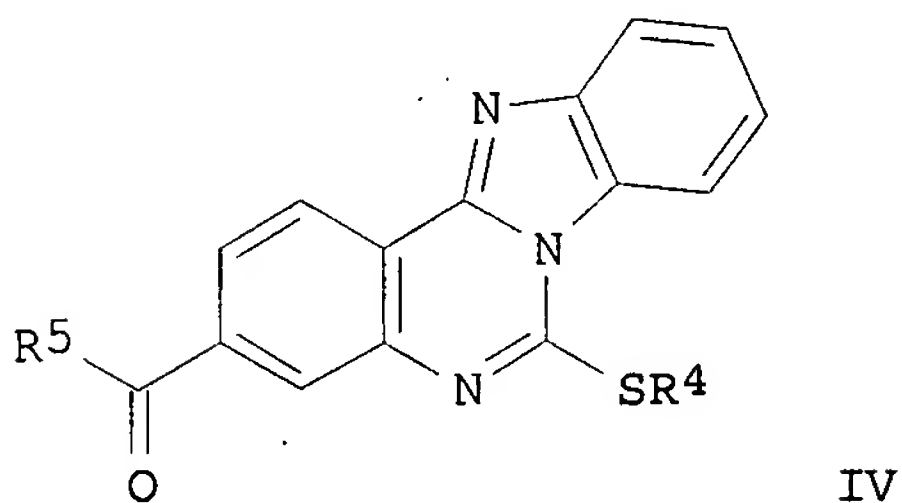
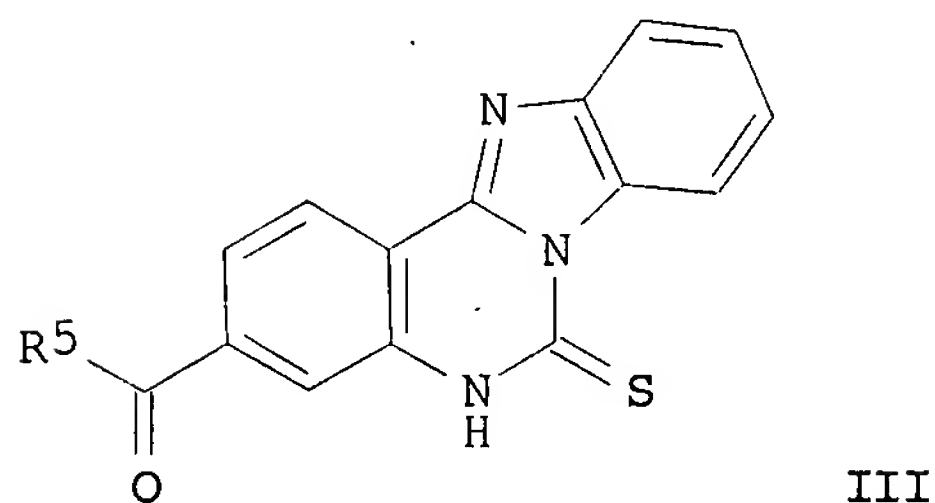
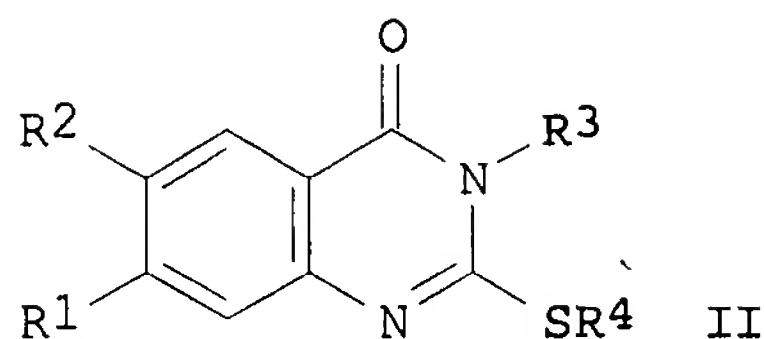
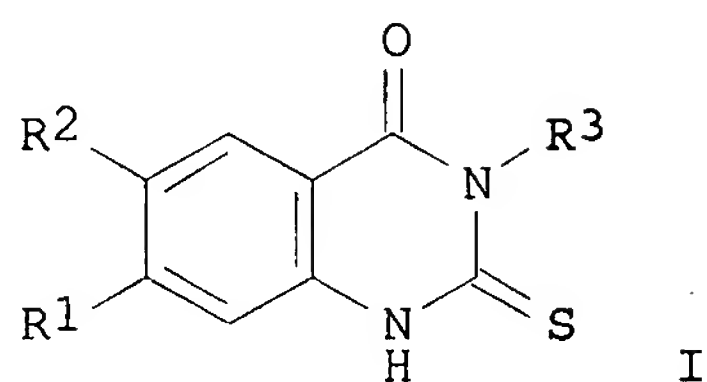
DOCUMENT TYPE: Journal

LANGUAGE: English

10/594081

OTHER SOURCE(S):
GI

CASREACT 139:292223



AB A liquid-phase synthesis of combinatorial libraries of new disubstituted 4-oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines I (R1 = H, Cl, MeO2C, etc.; R2 = H, Br, F, etc.; R3 = Et2NCH2CH2, cyclohexyl, PhCH2, 2-H2NC6H4, etc.) and trisubstituted 4-oxo-3,4-dihydroquinazoline-2-thiols II [R4 = 4-pyridylmethyl, (PhCH2NHCO)2CH, etc.] was developed. I were prepared using two general procedures: (i) cyclization of substituted Me anthranilates with isothiocyanates, or (ii) cyclization of substituted 2-(methoxycarbonyl)phenyl isothiocyanates with primary amines or hydrazines. II were prepared by S-alkylation of I with alkyl or aryl halides. The hydrolysis of Me benzimidazo[1,2-c]quinazoline-6(5H)-thione-3-carboxylate III (R5 = MeO) led to the corresponding acid, which was utilized in the synthesis of new benzimidazo[1,2-c]quinazoline-6(5H)-thione-3-carboxamide (R5 = BuNH, cyclohexylamino, 4-methyl-1-piperazinyl, etc.) and S-substituted 6-mercaptobenzimidazo[1,2-c]quinazoline-3-carboxamide IV libraries.

IT 403729-65-7P 422275-89-6P 422275-98-7P
422530-38-9P 451467-19-9P

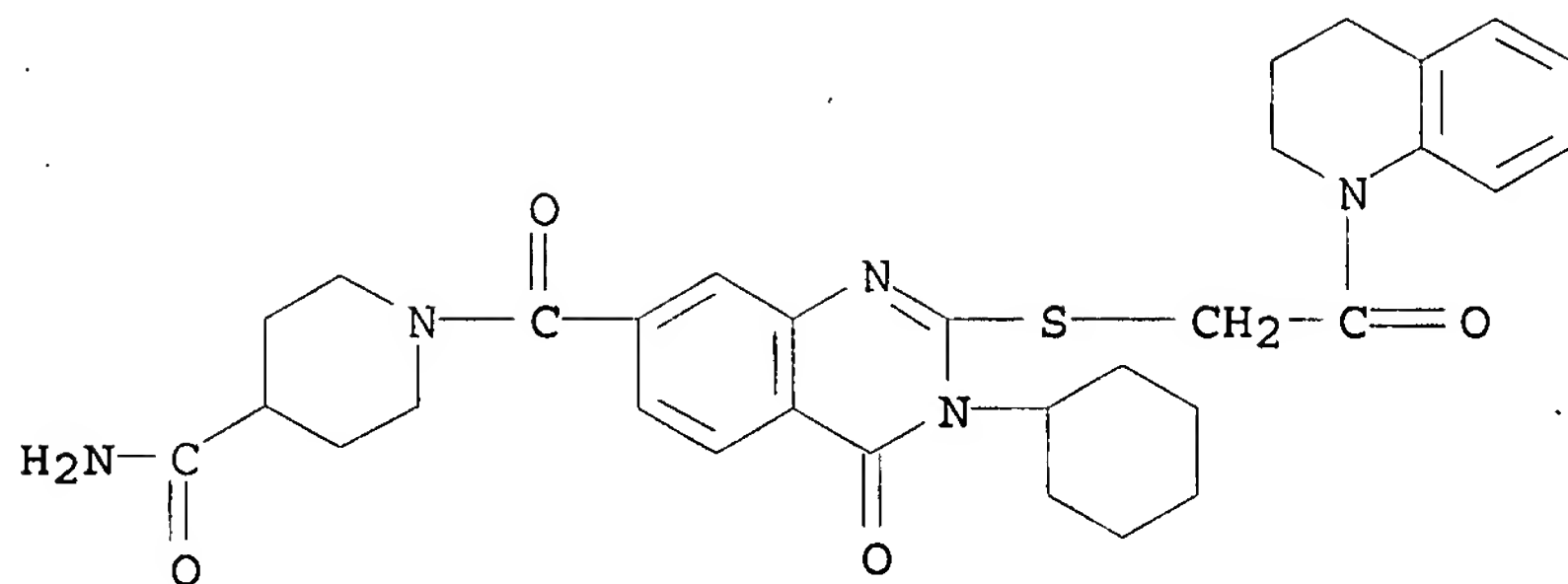
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(liquid-phase combinatorial synthesis of oxo(thioxo)tetrahydroquinazoline s and mercapto(oxo)dihydroquinazolines)

RN 403729-65-7 CAPLUS

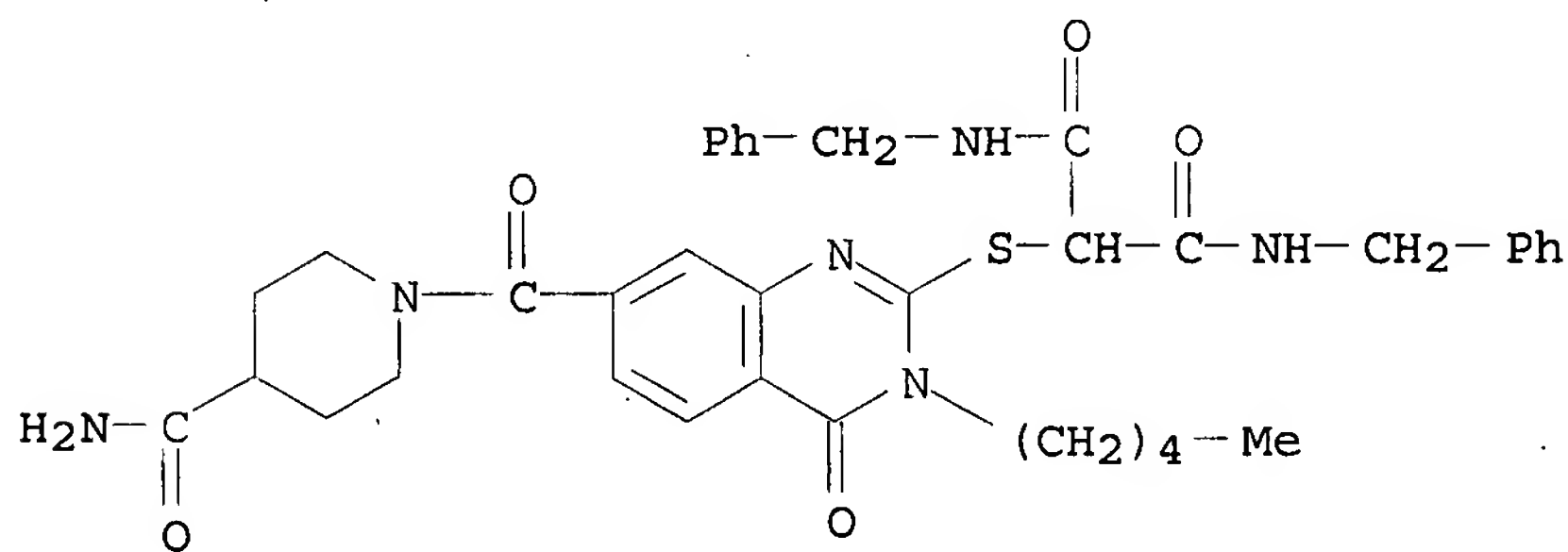
CN 4-Piperidinecarboxamide, 1-[[3-cyclohexyl-2-[[2-(3,4-dihydro-1(2H)-quinolinyl)-2-oxoethyl]thio]-3,4-dihydro-4-oxo-7-quinazolinyl]carbonyl]-
(9CI) (CA INDEX NAME)

10/594081



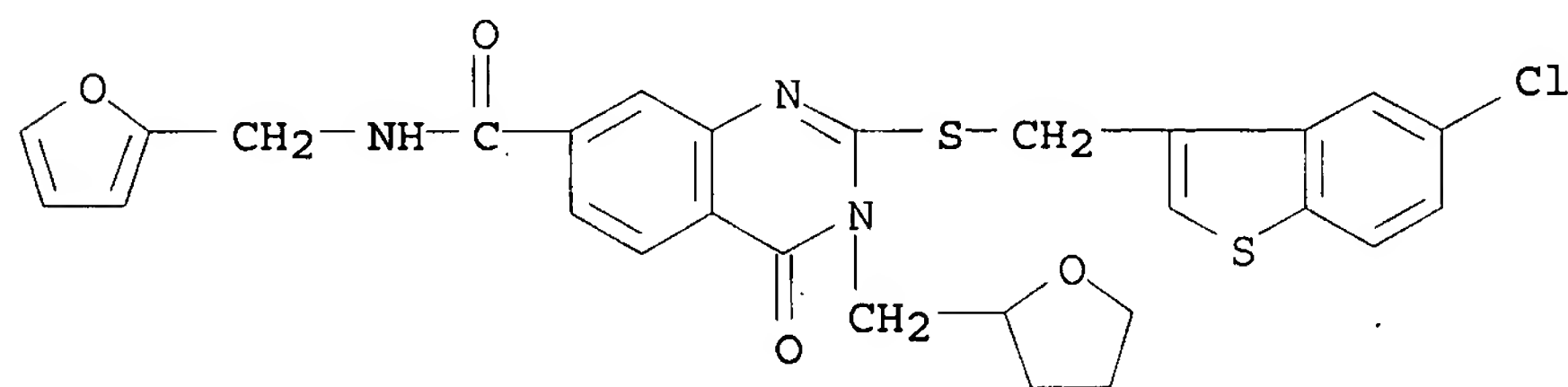
RN 422275-89-6 CAPLUS

CN Propanediamide, 2-[[[7-[[4-(aminocarbonyl)-1-piperidinyl]carbonyl]-3,4-dihydro-4-oxo-3-pentyl-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 422275-98-7 CAPLUS

CN 7-Quinazolinecarboxamide, 2-[[[(5-chlorobenzo[b]thien-3-yl)methyl]thio]-N-(2-furanylmethyl)-3,4-dihydro-4-oxo-3-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

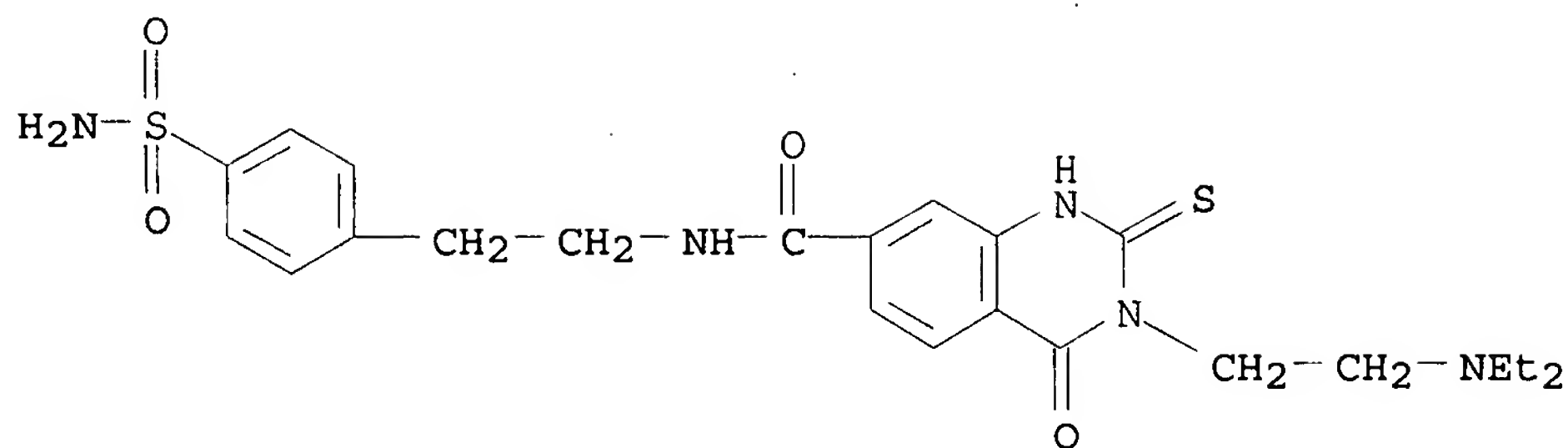


RN 422530-38-9 CAPLUS

CN 7-Quinazolinecarboxamide, N-[2-[4-(aminosulfonyl)phenyl]ethyl]-3-[2-(diethylamino)ethyl]-1,2,3,4-tetrahydro-4-oxo-2-thioxo- (9CI) (CA INDEX NAME)

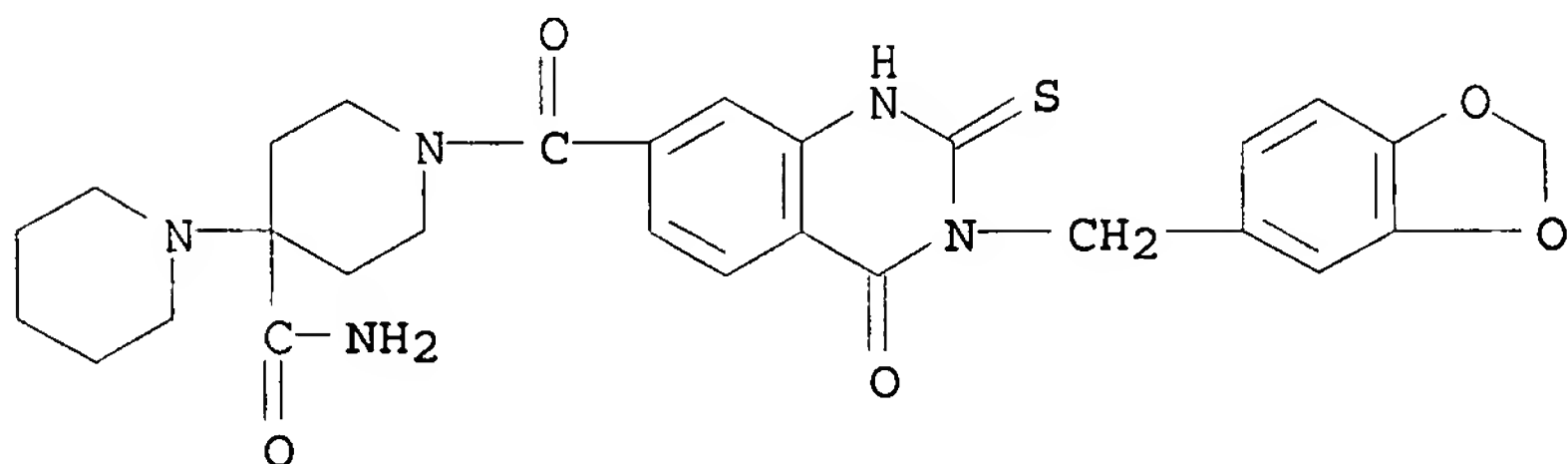
8/6/2007

10/594081



RN 451467-19-9 CAPLUS

CN [1,4'-Bipiperidine]-4'-carboxamide, 1'-[[3-(1,3-benzodioxol-5-ylmethyl)-1,2,3,4-tetrahydro-4-oxo-2-thioxo-7-quinazolinyl]carbonyl]- (9CI) (CA INDEX NAME)



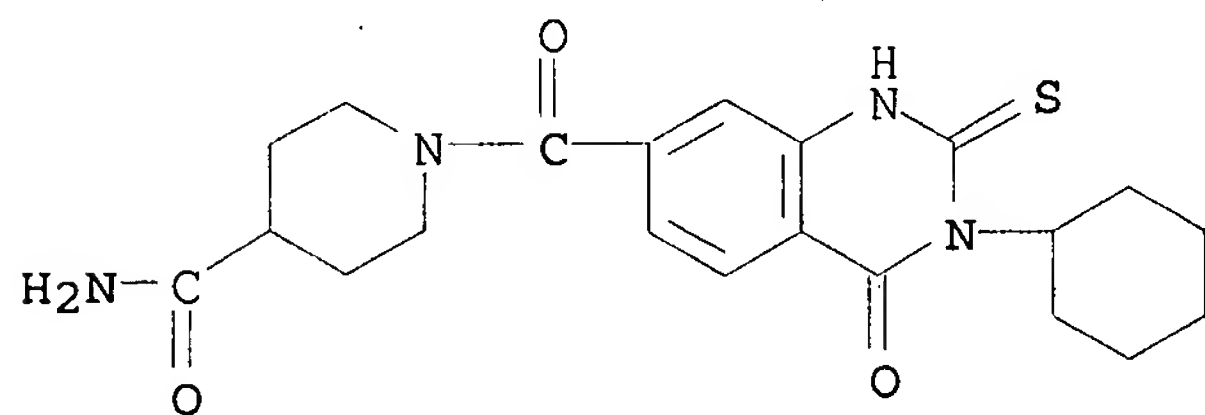
IT 362500-87-6 362501-04-0 403720-55-8

RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)

(liquid-phase combinatorial synthesis of oxo(thioxo)tetrahydroquinazoline s and mercapto(oxo)dihydroquinazolines)

RN 362500-87-6 CAPLUS

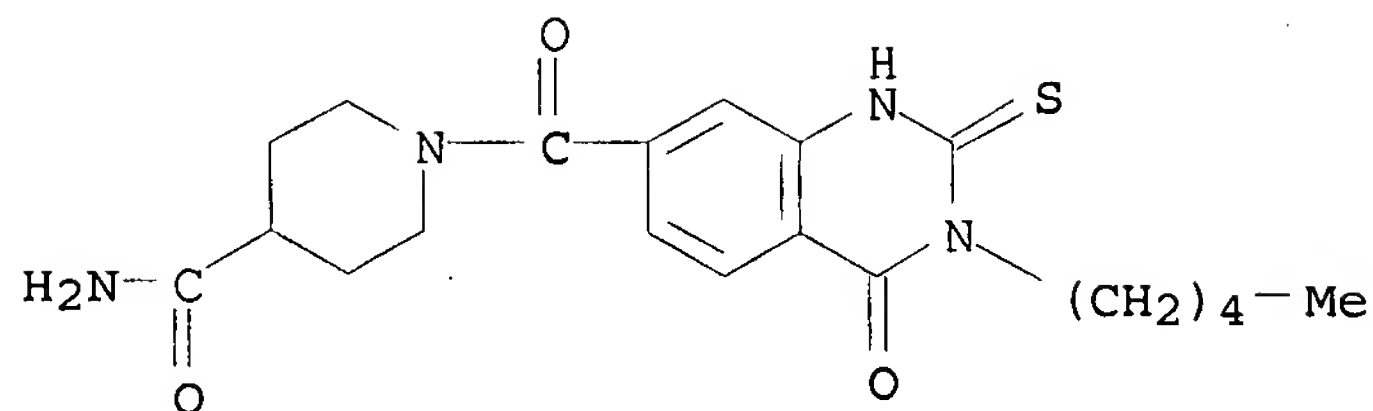
CN 4-Piperidinecarboxamide, 1-[(3-cyclohexyl-1,2,3,4-tetrahydro-4-oxo-2-thioxo-7-quinazolinyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 362501-04-0 CAPLUS

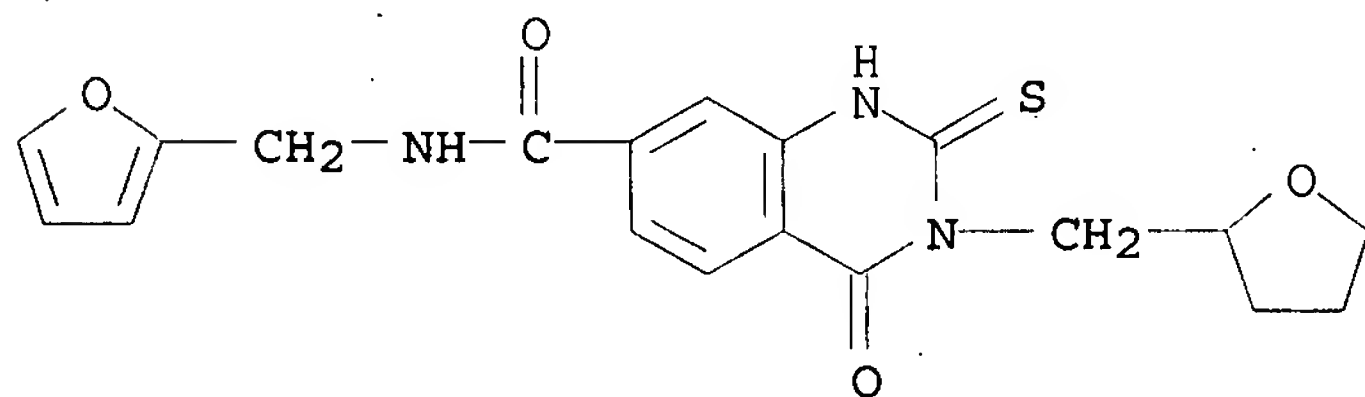
CN 4-Piperidinecarboxamide, 1-[(1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo-7-quinazolinyl)carbonyl]- (9CI) (CA INDEX NAME)

10/594081



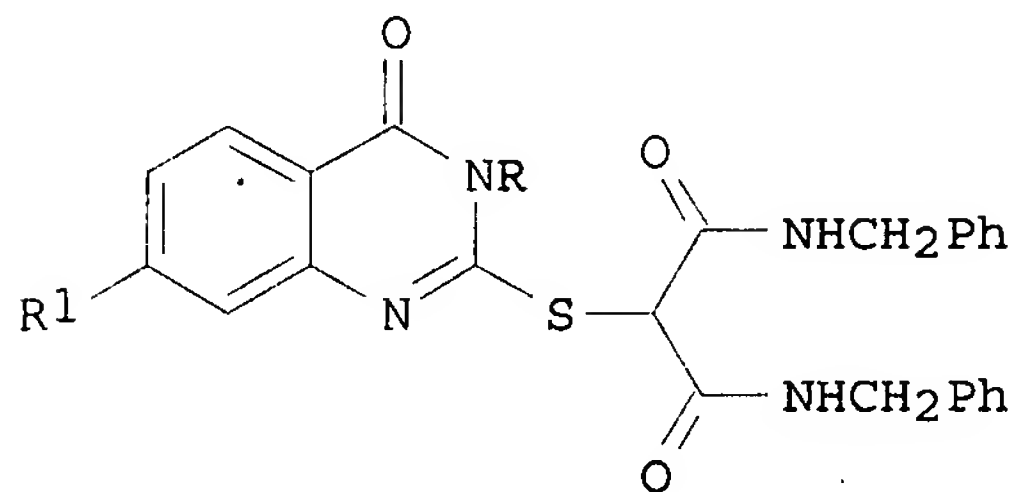
RN 403720-55-8 CAPLUS

CN 7-Quinazolinecarboxamide, N-(2-furanylmethyl)-1,2,3,4-tetrahydro-4-oxo-3-[(tetrahydro-2-furanyl)methyl]-2-thioxo- (9CI) (CA INDEX NAME)

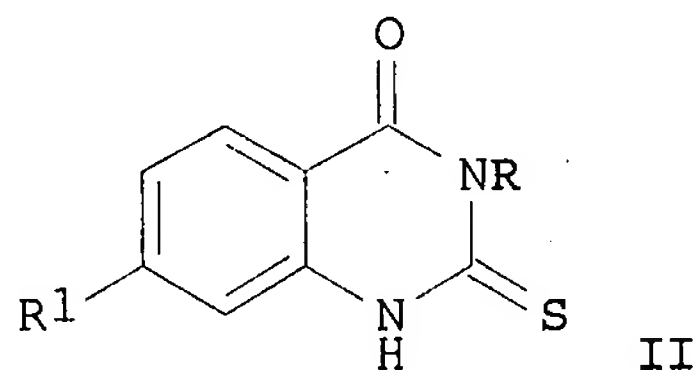


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:940425 CAPLUS <<LOGINID::20070806>>
DOCUMENT NUMBER: 138:321225
TITLE: Synthesis and anticonvulsant activity of 3-substituted N,N'-dibenzyl-2-[(4-oxo-3,4-dihydroquinazolin-2-yl)thio]malonamides
AUTHOR(S): Georgiyants, V. A.; Kovalenko, S. M.; Sich, I. A.; Drushlyak, O. G.
CORPORATE SOURCE: Nats. Farm. Akad. Ukr., Ukraine
SOURCE: Fiziologichno Aktivni Rechovini (2002), (1), 26-30
CODEN: FARICW
PUBLISHER: Natsional'na Farmatsevtichna Akademiya Ukraini
DOCUMENT TYPE: Journal
LANGUAGE: Ukrainian
OTHER SOURCE(S): CASREACT 138:321225
GI



I



II

8/6/2007

10/594081

AB Thio-substituted quinazolinones I (R1 = tetrahydrofuran-2-ylmethyl, Ph, pentyl, allyl, benzyl, CH₂CH₂OMe, etc.; R = H, COOMe, substituted carbamoyl, etc.) were prepared by reaction of thioxoquinazolinones II with 2-bromo-N,N'-dibenzylmalonamide in DMF in the presence of Et₃N. Pharmacol. screening, conducted on convulsion models caused by Corazole and elec. current, showed that the presence of two pharmacophores, i.e., quinazolinic and malonamidic, did not enlarge the arithmetic value of the anticonvulsant activity but did increase its spectrum so that nearly all I protected animals from death under both types of convulsive attacks.

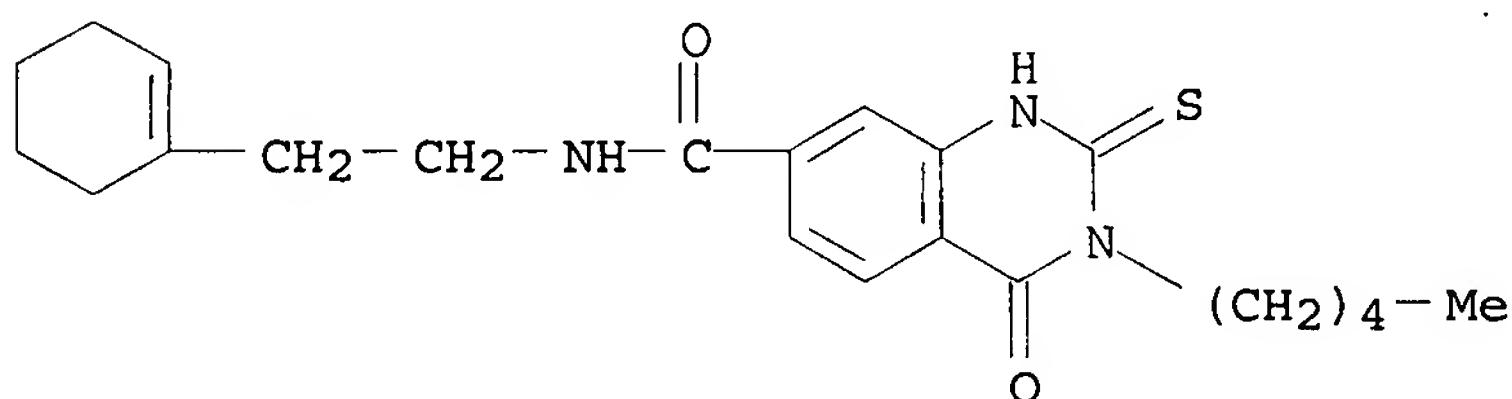
IT 309940-34-9 309940-37-2 309940-43-0
362500-88-7 362501-04-0 362501-05-1
403718-45-6 421590-52-5 514857-30-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and anticonvulsant activity of bis(benzylcarbamoyl)methylthio dihydroquinazolinones)

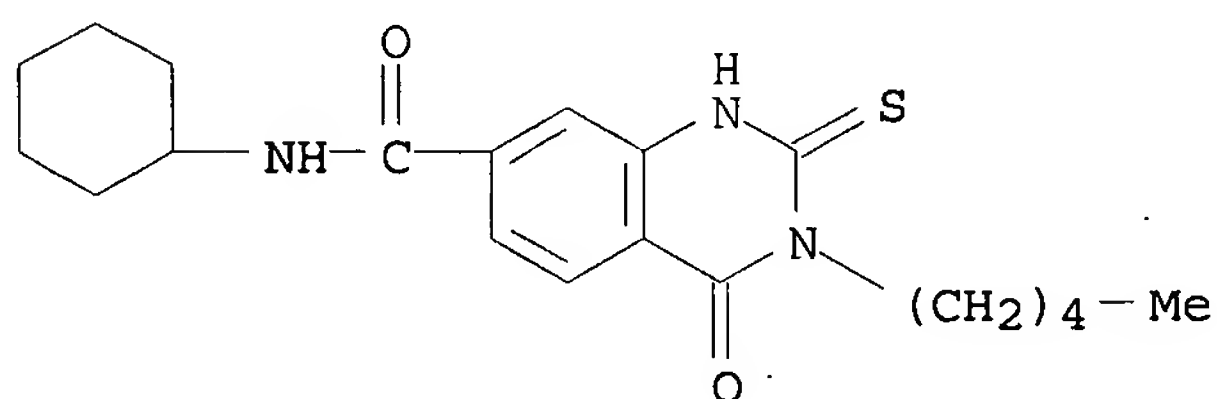
RN 309940-34-9 CAPLUS

CN 7-Quinazolinecarboxamide, N-[2-(1-cyclohexen-1-yl)ethyl]-1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo- (9CI) (CA INDEX NAME)



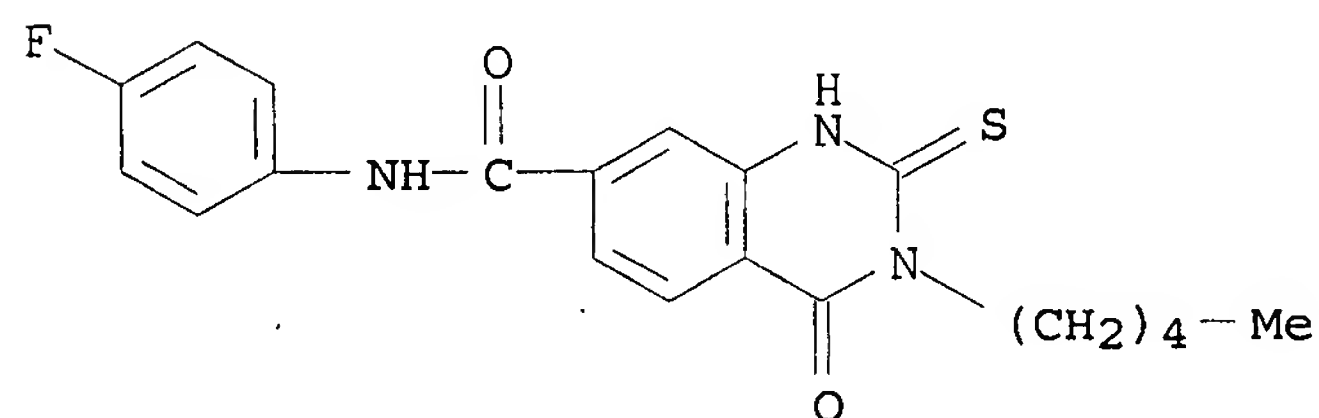
RN 309940-37-2 CAPLUS

CN 7-Quinazolinecarboxamide, N-cyclohexyl-1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo- (9CI) (CA INDEX NAME)



RN 309940-43-0 CAPLUS

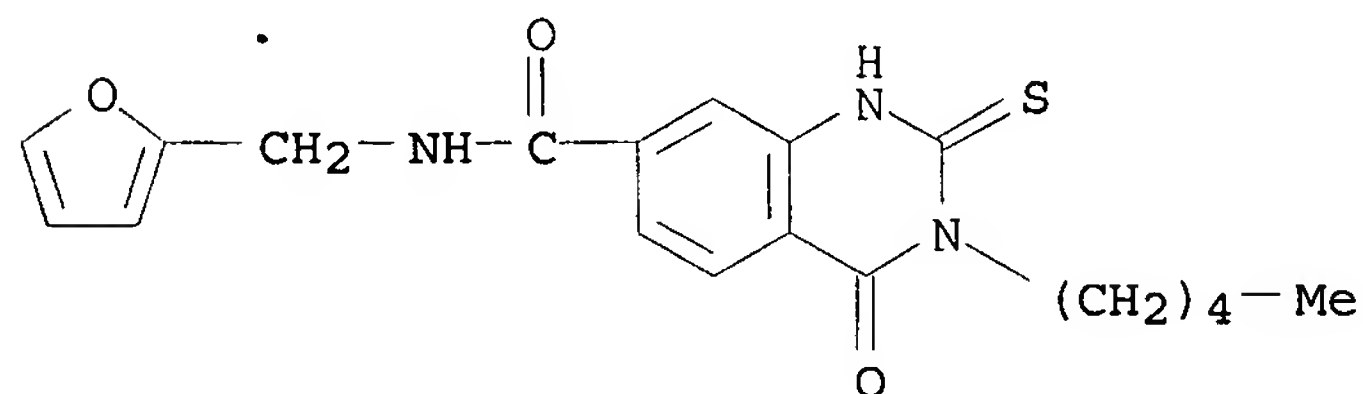
CN 7-Quinazolinecarboxamide, N-(4-fluorophenyl)-1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo- (9CI) (CA INDEX NAME)



10/594081

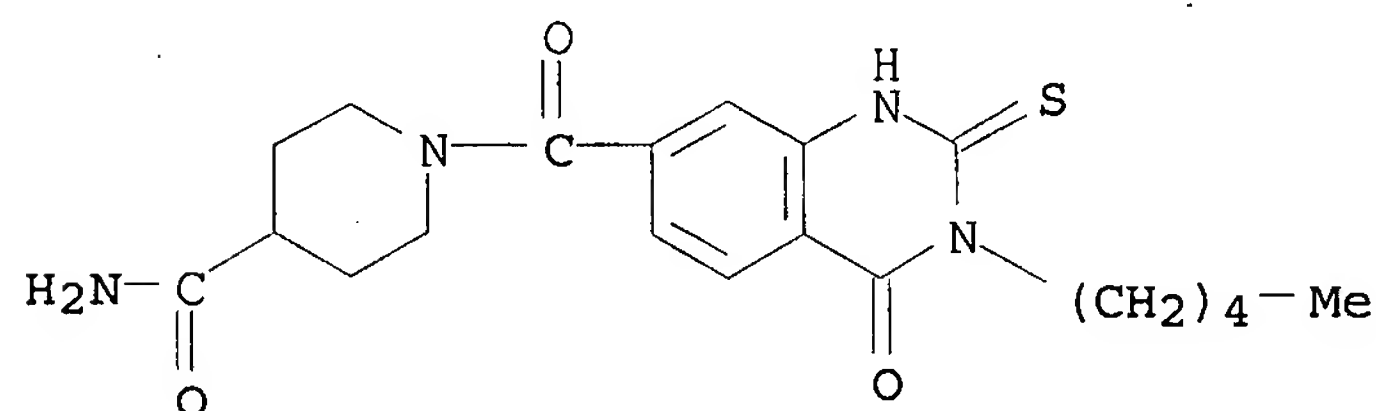
RN 362500-88-7 CAPLUS

CN 7-Quinazolinecarboxamide, N-(2-furanylmethyl)-1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo- (9CI) (CA INDEX NAME)



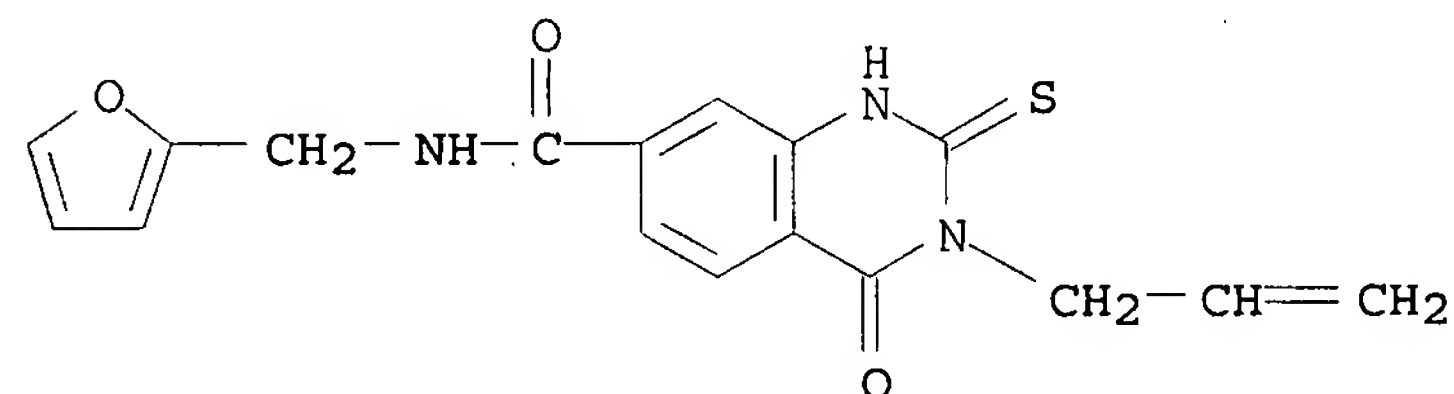
RN 362501-04-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo-7-quinazolinyl)carbonyl]- (9CI) (CA INDEX NAME)



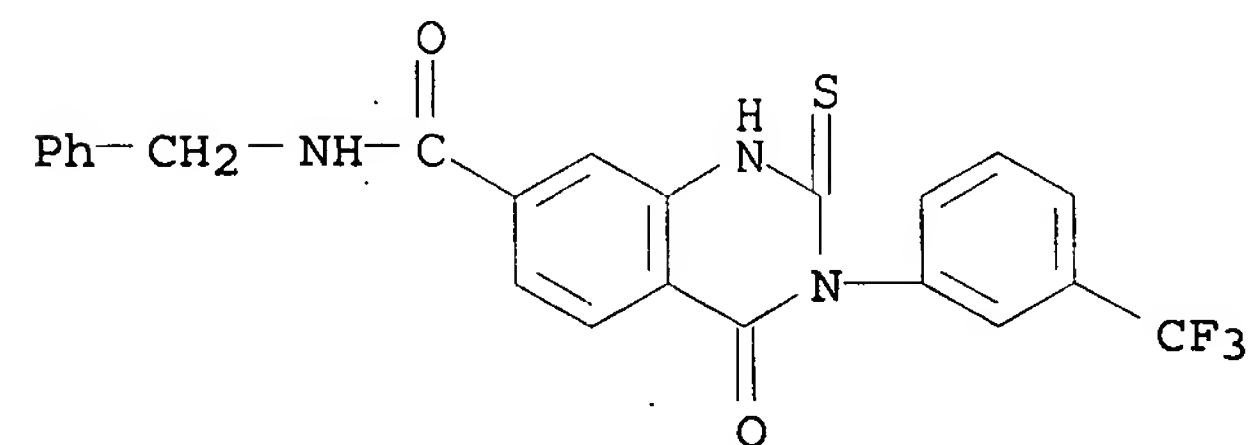
RN 362501-05-1 CAPLUS

CN 7-Quinazolinecarboxamide, N-(2-furanylmethyl)-1,2,3,4-tetrahydro-4-oxo-3-(2-propenyl)-2-thioxo- (9CI) (CA INDEX NAME)



RN 403718-45-6 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-4-oxo-N-(phenylmethyl)-2-thioxo-3-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

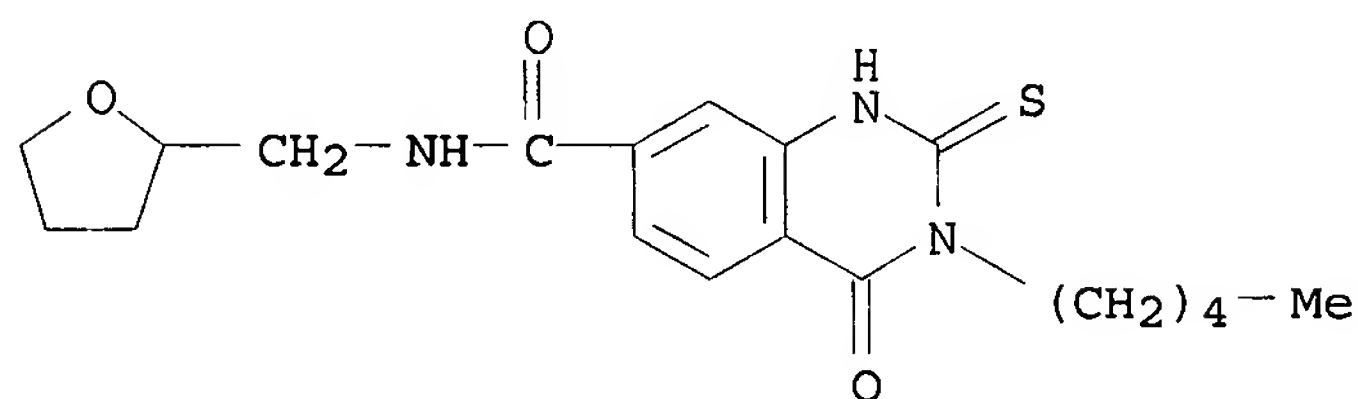


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10/594081

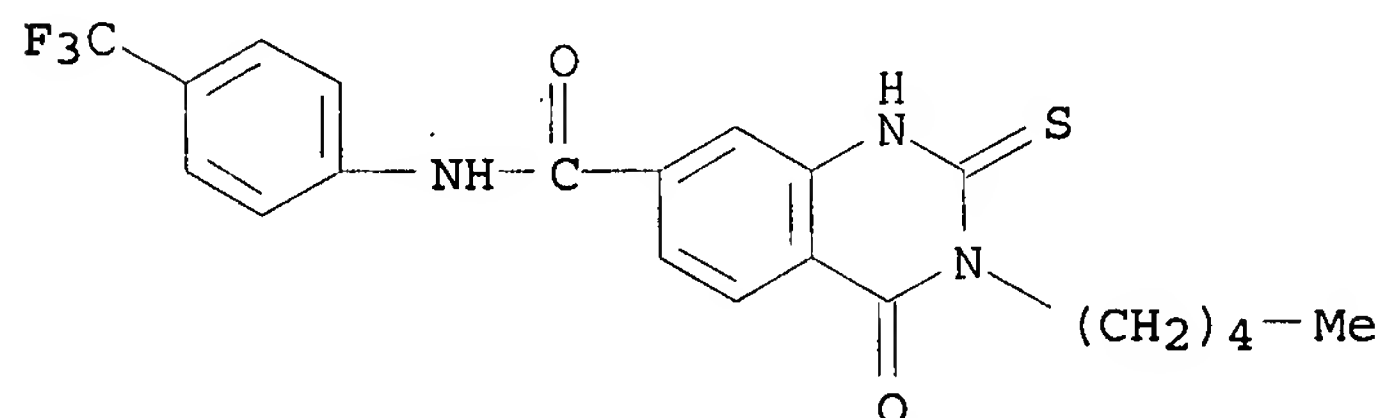
RN 421590-52-5 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-4-oxo-3-pentyl-N-[(tetrahydro-2-furanyl)methyl]-2-thioxo- (9CI) (CA INDEX NAME)



RN 514857-30-8 CAPLUS

CN 7-Quinazolinecarboxamide, 1,2,3,4-tetrahydro-4-oxo-3-pentyl-2-thioxo-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



IT. 422274-78-0P 422275-88-5P 422275-89-6P

422275-90-9P 422275-92-1P 443348-14-9P

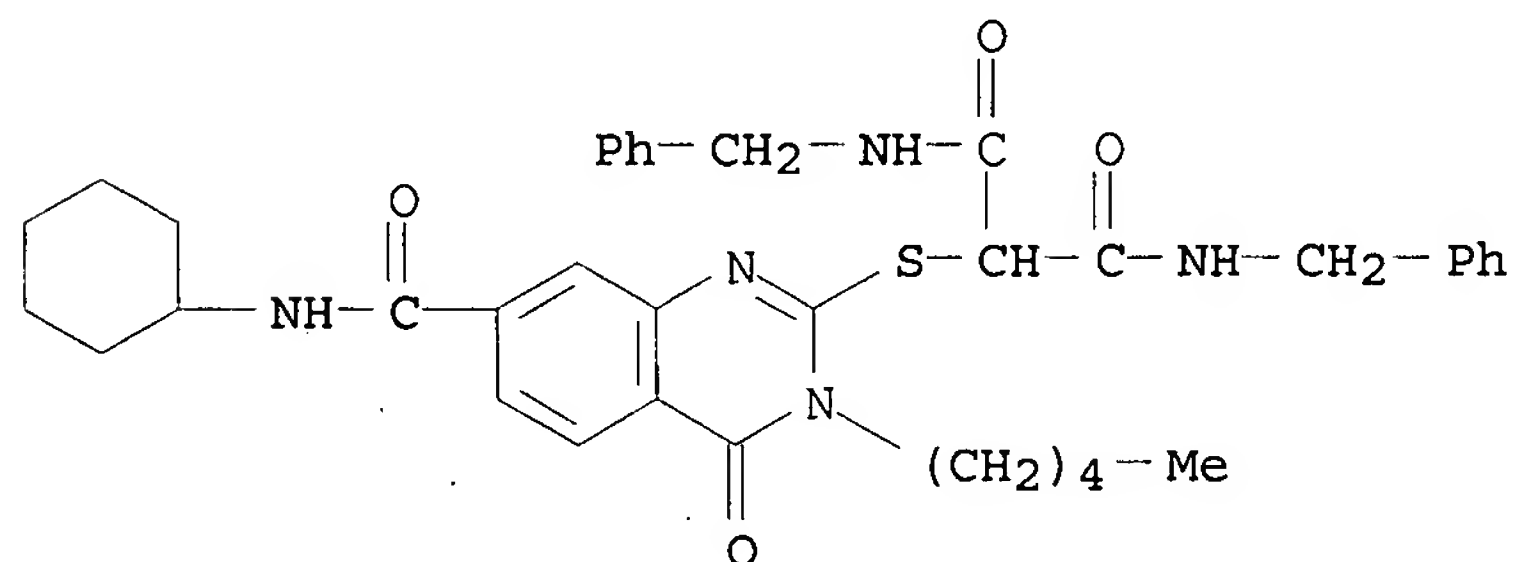
443348-15-0P 514857-32-0P 514857-33-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and anticonvulsant activity of bis(benzylcarbamoyl)methylthio dihydroquinazolinones)

RN 422274-78-0 CAPLUS

CN Propanediamide, 2-[[7-[(cyclohexylamino)carbonyl]-3,4-dihydro-4-oxo-3-pentyl-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



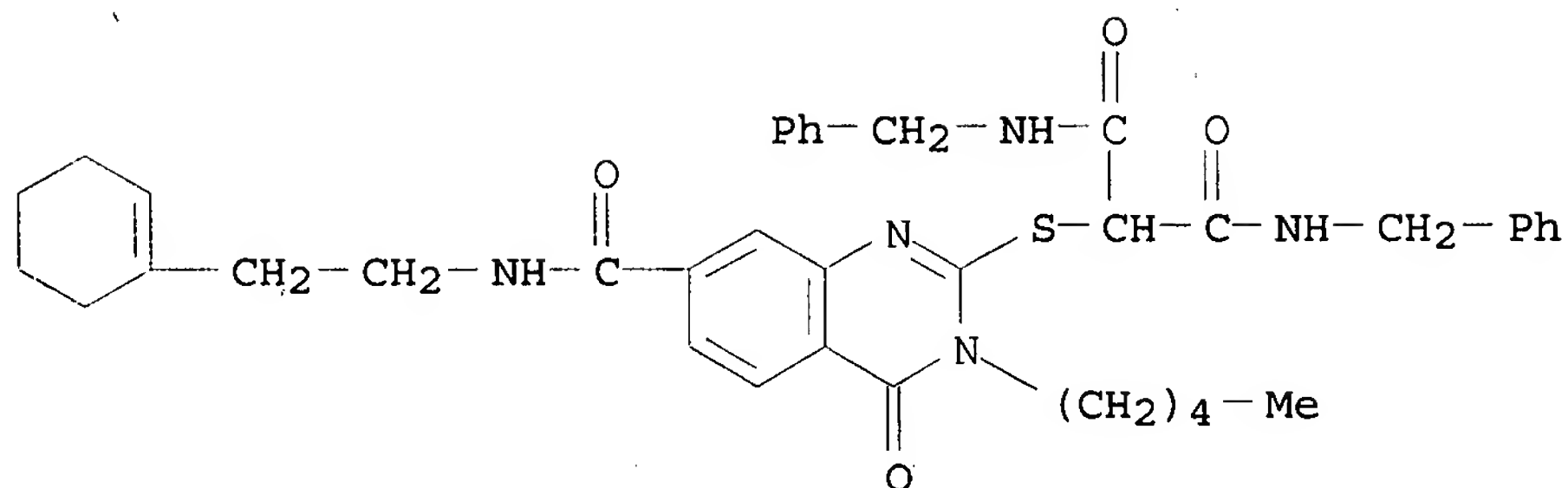
RN 422275-88-5 CAPLUS

CN Propanediamide, 2-[[7-[[[2-(1-cyclohexen-1-yl)ethyl]amino]carbonyl]-3,4-dihydro-4-oxo-3-pentyl-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI)

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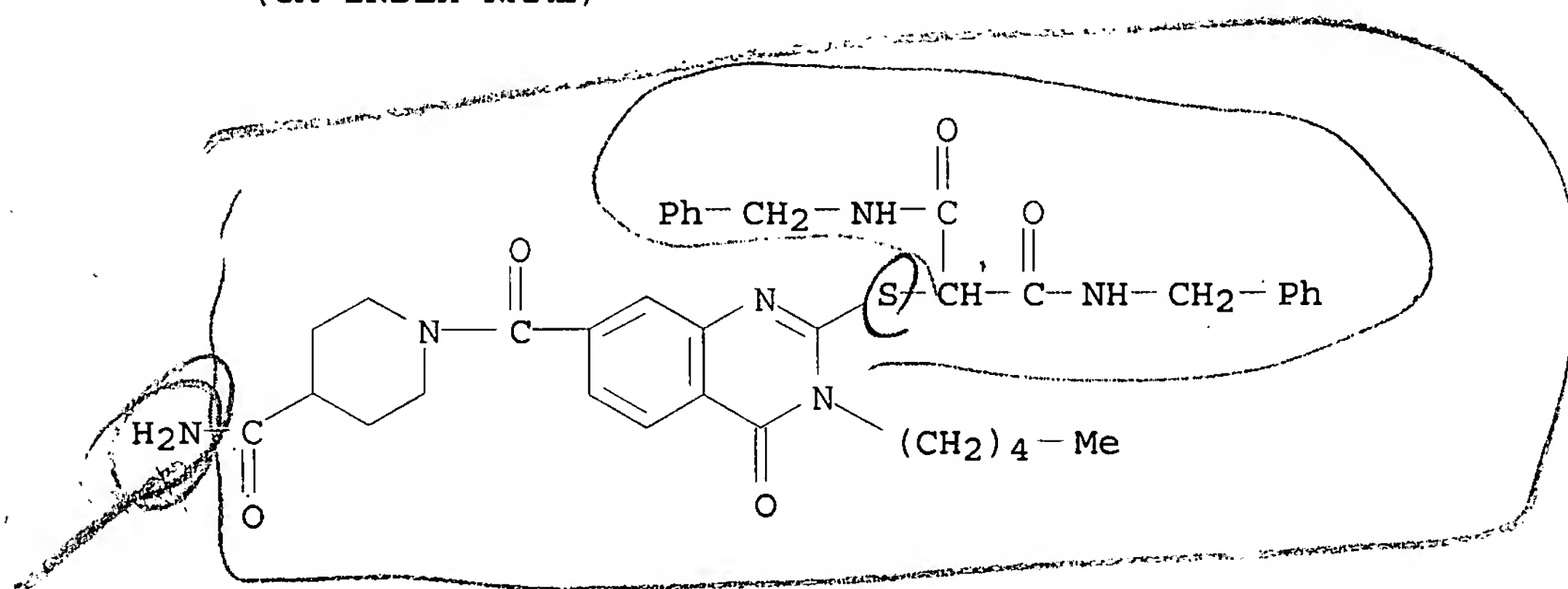
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(CA INDEX NAME)



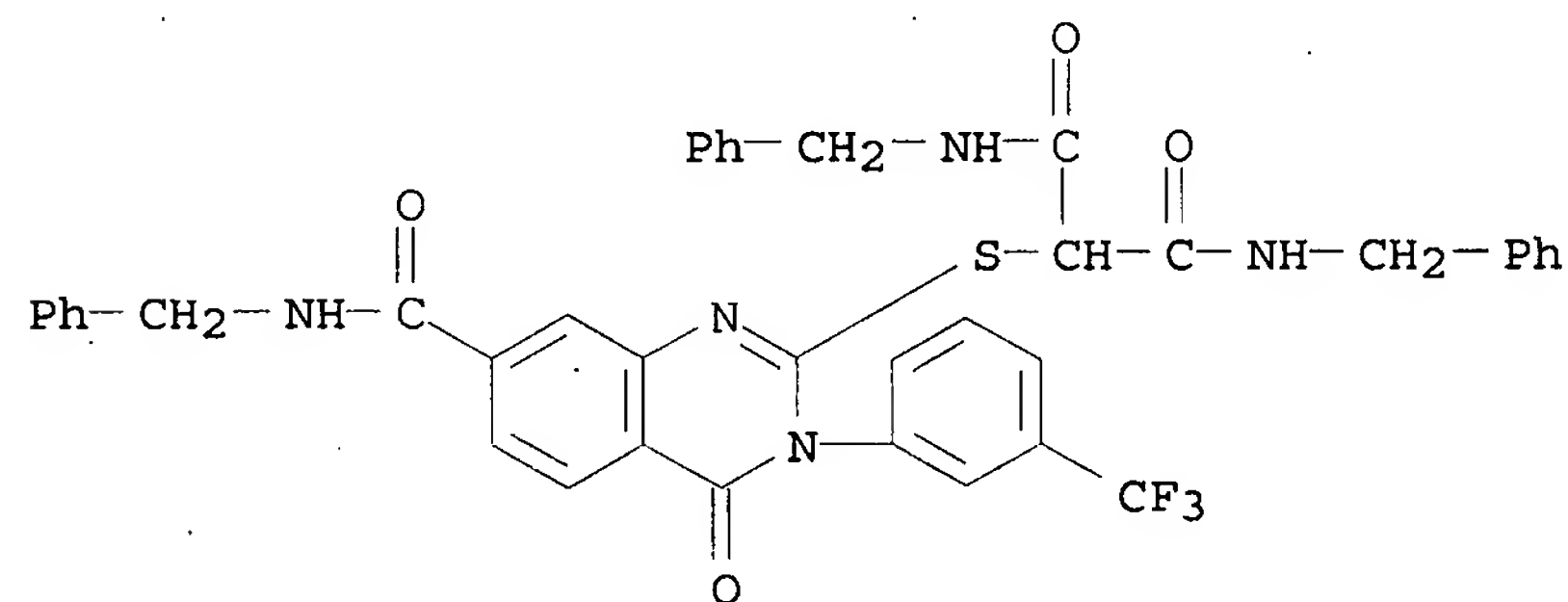
RN 422275-89-6 CAPLUS

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(CA INDEX NAME)



RN 422275-90-9 CAPLUS

CN Propanediamide, 2-[[3,4-dihydro-4-oxo-7-[[[(phenylmethyl)amino]carbonyl]-3-[3-(trifluoromethyl)phenyl]-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI)
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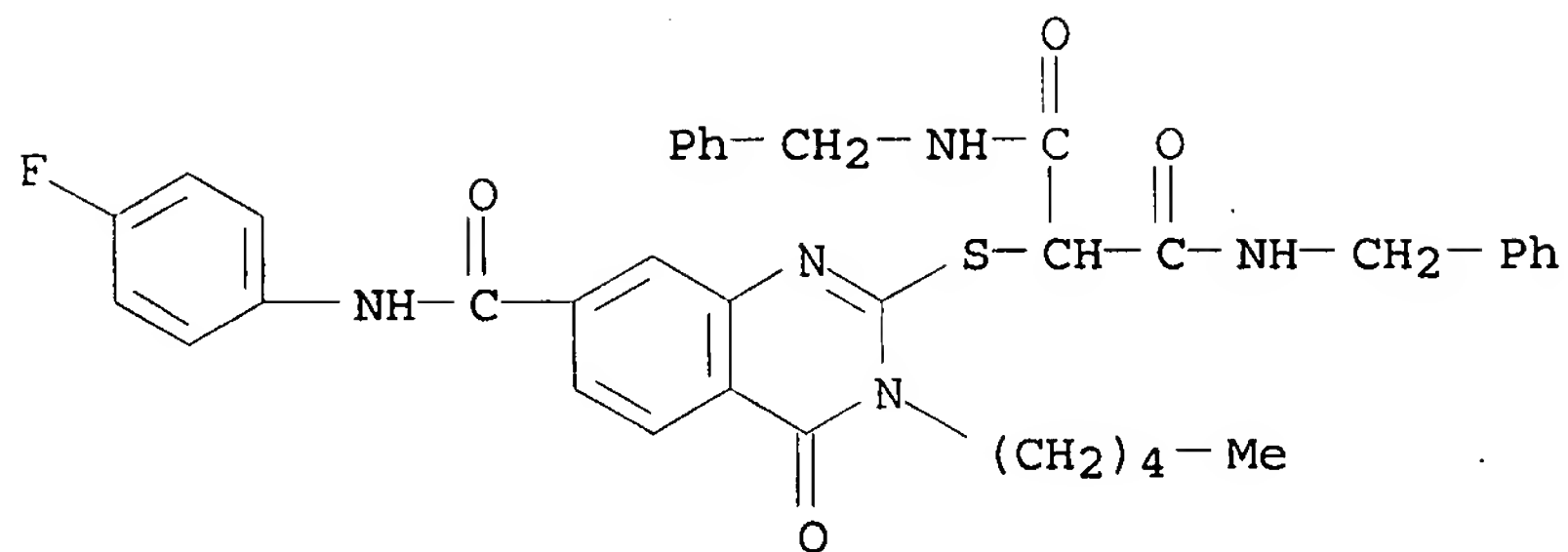


RN 422275-92-1 CAPLUS

CN Propanediamide, 2-[[7-[[[(4-fluorophenyl)amino]carbonyl]-3,4-dihydro-4-oxo-3-pentyl-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI)
(CA INDEX NAME)

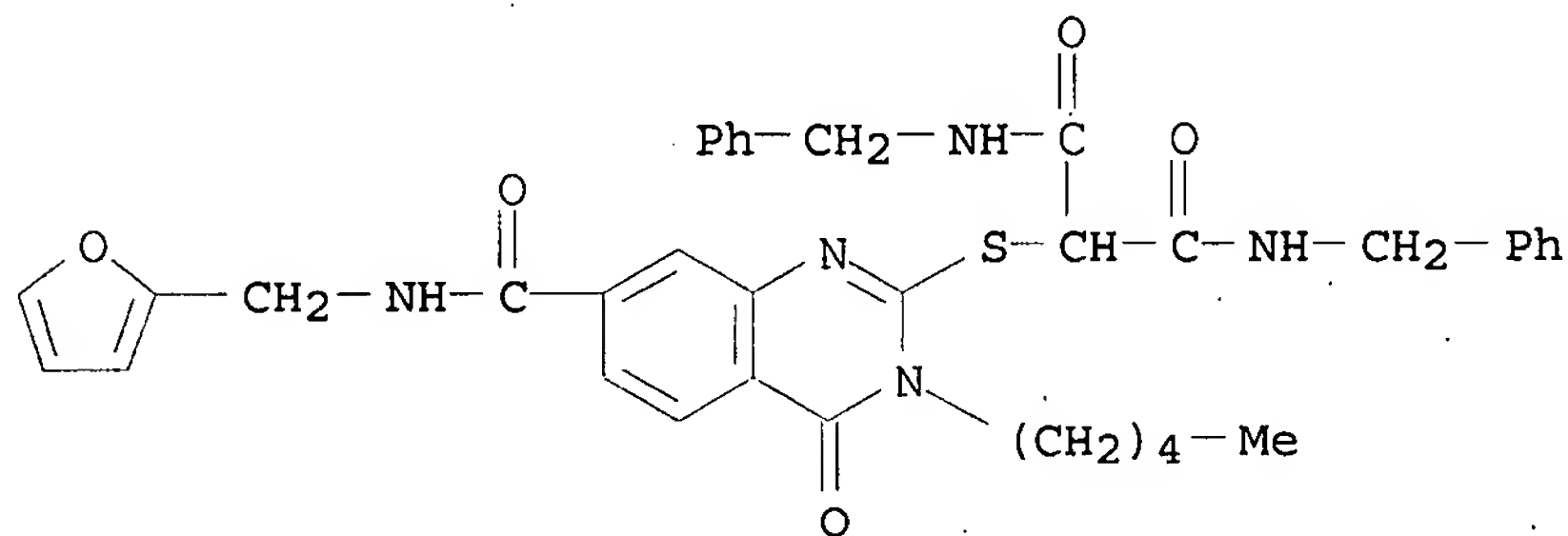
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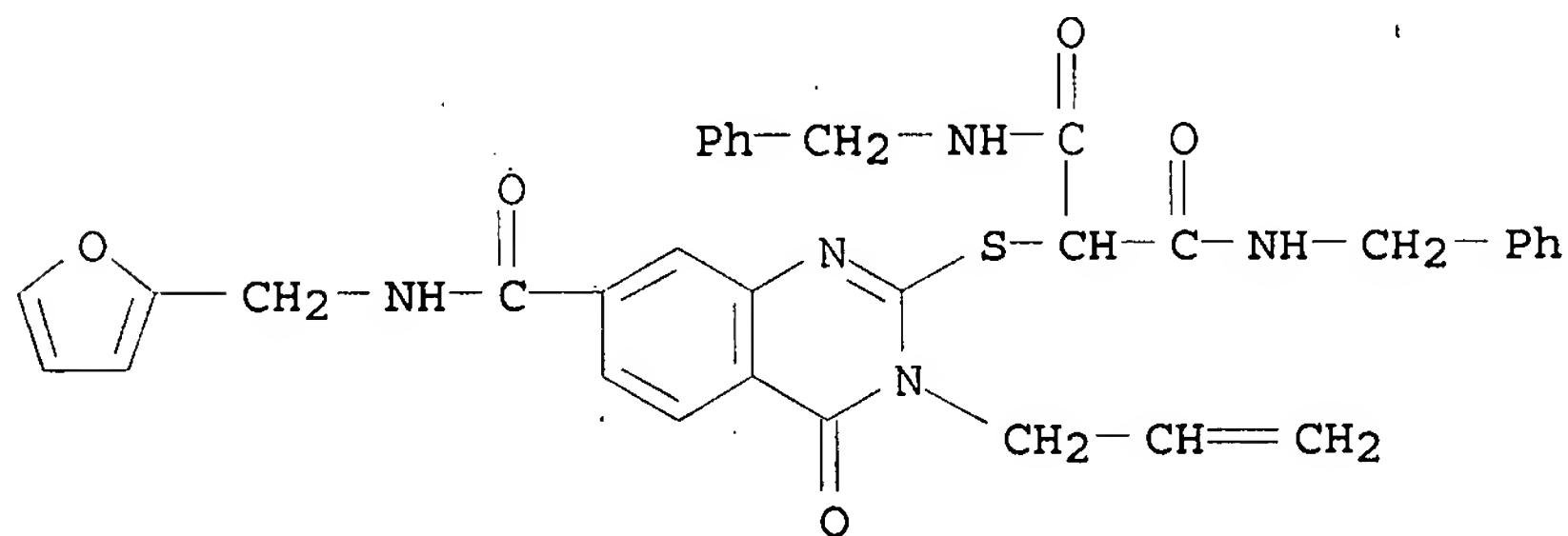
RN 443348-14-9 CAPLUS

CN Propanediamide, 2-[[7-[[[(2-furanylmethyl)amino]carbonyl]-3,4-dihydro-4-oxo-3-pentyl-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 443348-15-0 CAPLUS

CN Propanediamide, 2-[[7-[[[(2-furanylmethyl)amino]carbonyl]-3,4-dihydro-4-oxo-3-(2-propenyl)-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

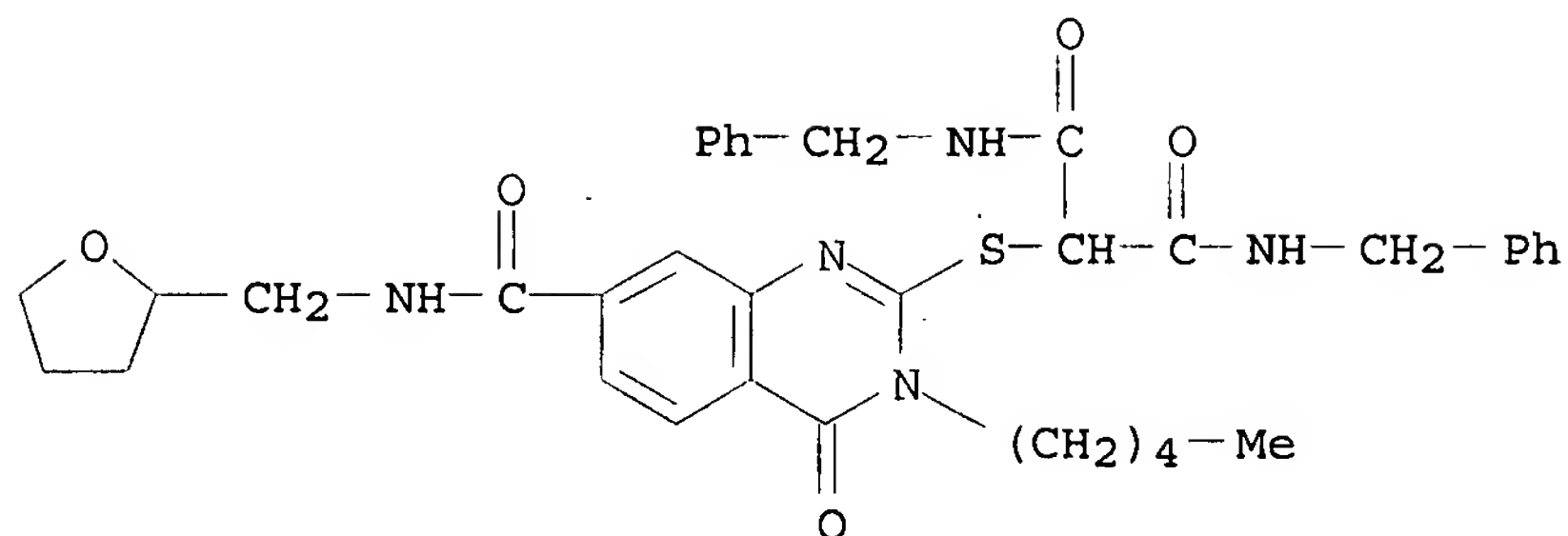


RN 514857-32-0 CAPLUS

CN Propanediamide, 2-[[[3,4-dihydro-4-oxo-3-pentyl-7-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

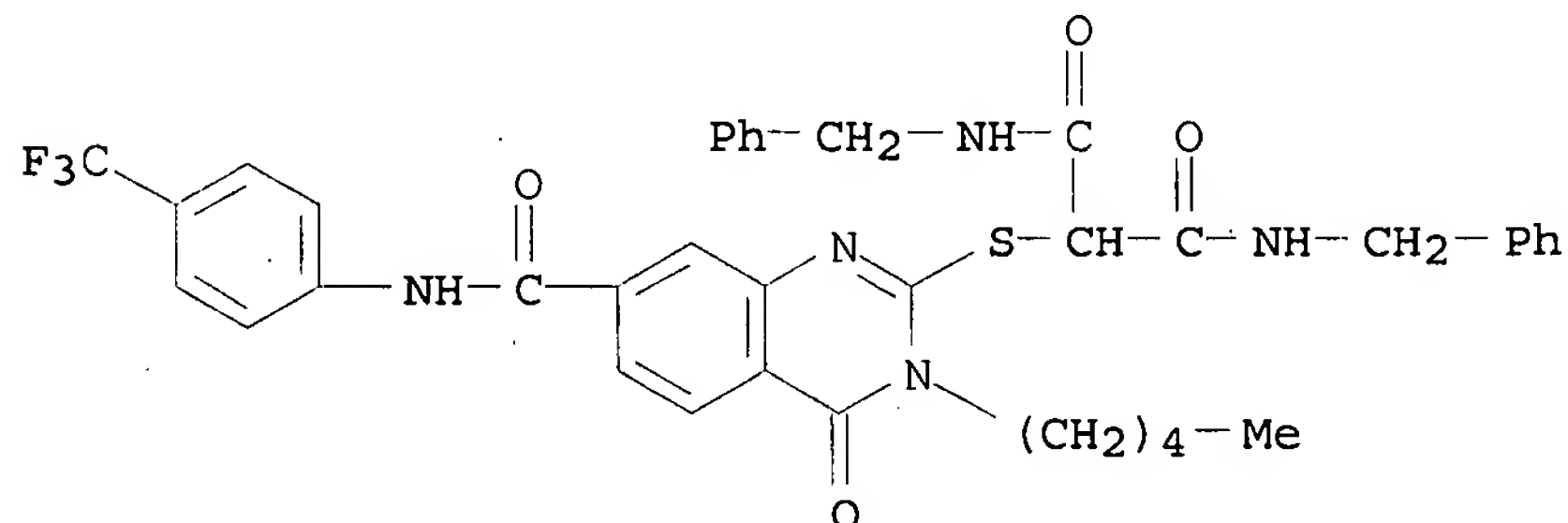
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10/594081



RN 514857-33-1 CAPLUS

CN Propanediamide, 2-[[[3,4-dihydro-4-oxo-3-pentyl-7-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)-. (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:338479 CAPLUS <<LOGINID::20070806>>

DOCUMENT NUMBER: 134:353175

TITLE: Preparation of amides and ureas as activators of soluble guanylate cyclase

INVENTOR(S): Selwood, David; Glen, Robert; Reynolds, Karen; Wishart, Grant

PATENT ASSIGNEE(S): University College London, UK

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

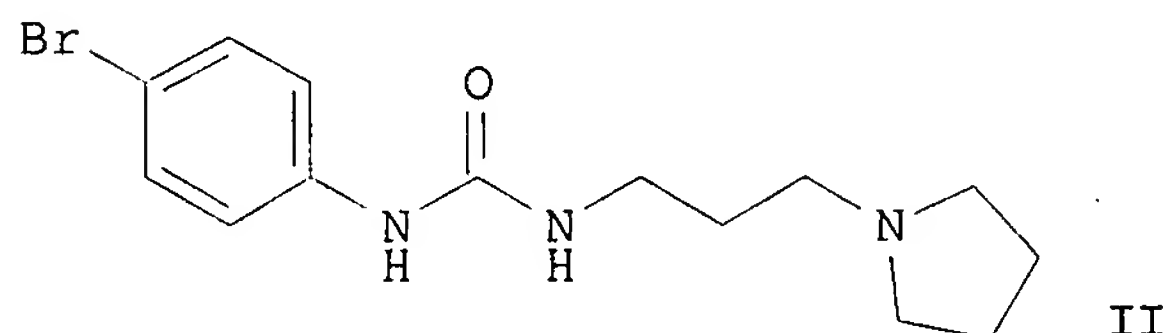
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032604	A1	20010510	WO 2000-GB4249	20001106
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,			

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BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
CA 2389773 A1 20010510 CA 2000-2389773 20001106
EP 1237849 A1 20020911 EP 2000-973061 20001106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2003513064 T 20030408 JP 2001-534758 20001106
PRIORITY APPLN. INFO.: GB 1999-26286 A 19991105
US 2000-201382P P 20000502
WO 2000-GB4249 W 20001106
OTHER SOURCE(S): MARPAT 134:353175
GI

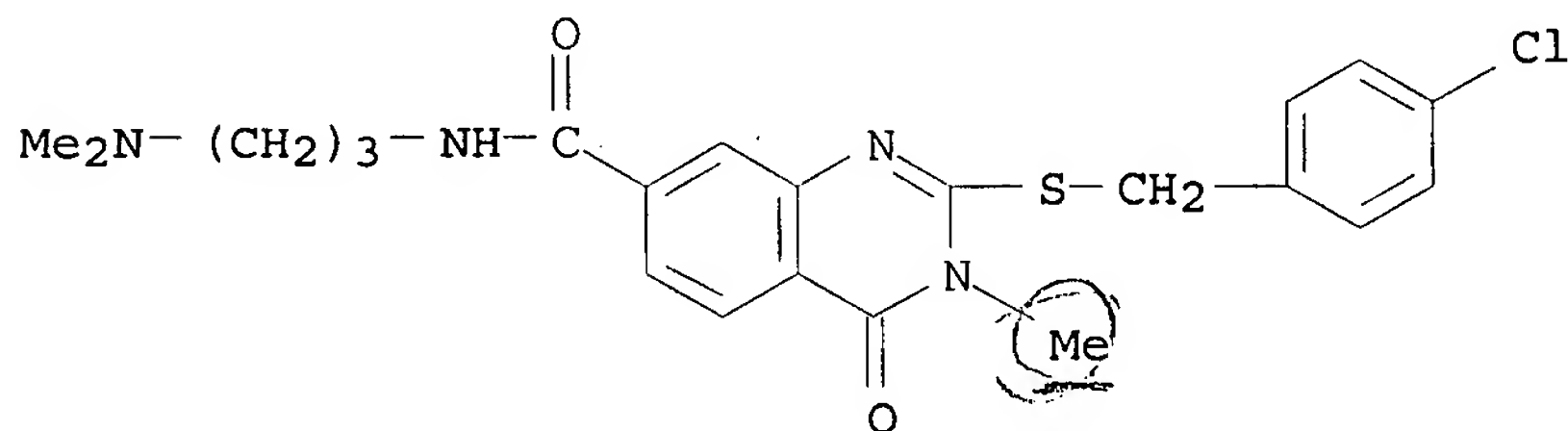


AB The title compds. R₄PZNR₁R₂ [I; R₁, R₂ = alkyl; R₁R₂ together form alkylene; Z = alkylene; P = a direct bond, X, Y, W, XY, YW, XYW (wherein W = O, S, NR₃; R₃ = H, alkyl; Y = UV; V = a direct bond, alkylene; U = CS, CO, SO₂, C(:NR); R = H, OH, alkyl; X = O, NR₆; R₆ = H, alkyl, alkenyl, etc.); R₄ = alkyl, alkenyl, alkynyl, etc.], useful in the activation of soluble guanylate cyclase, were prepared E.g., synthesis of the urea II, starting with 4-bromoaniline and 1-(3-aminopropyl)pyrrolidine, was given. Biol. data for compds. I (e.g., IC₅₀ for inhibition of platelet aggregation) were presented.

IT 338980-59-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amides and ureas as activators of soluble guanylate cyclase)

RN 338980-59-9 CAPLUS

CN 7-Quinazolinecarboxamide, 2-[[[(4-chlorophenyl)methyl]thio]-N-[3-(dimethylamino)propyl]-3,4-dihydro-3-methyl-4-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

8/6/2007

10/594081

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COST IN U.S. DOLLARS

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TOTAL

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FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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